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NEWS 1 Web Page for STN Seminar Schedule - N. America
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NEWS 4 JUN 26 NUTRACEUT and PHARMAML no longer updated
NEWS 5 JUN 29 IMSCOPROFILE now reloaded monthly
NEWS 6 JUN 29 EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 7 JUL 09 PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 8 JUL 14 USGENE enhances coverage of patent sequence location (PSL) data
NEWS 9 JUL 27 CA/CAplus enhanced with new citing references
NEWS 10 JUL 16 GBFULL adds patent backfile data to 1855
NEWS 11 JUL 21 USGENE adds bibliographic and sequence information
NEWS 12 JUL 28 EPFULL adds first-page images and applicant-cited references
NEWS 13 JUL 28 INPADOCDB and INPAFAMDB add Russian legal status data
NEWS 14 AUG 08 Improve STN by completing a survey and be entered to win a gift card
NEWS 15 AUG 10 Time limit for inactive STN sessions doubles to 40 minutes

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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*
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* name will be entered to win one of five \$20 Amazon.com gift cards. *
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* See NEWS 14 for details or go directly to the survey at: *
* http://www.zoomerang.com/Survey/?p=WEB229H4S8Q5UL *

FILE 'HOME' ENTERED AT 16:28:19 ON 14 AUG 2009

FILE 'REGISTRY' ENTERED AT 16:28:34 ON 14 AUG 2009
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STRUCTURE FILE UPDATES: 13 AUG 2009 HIGHEST RN 1174270-19-9
DICTIONARY FILE UPDATES: 13 AUG 2009 HIGHEST RN 1174270-19-9

New CAS Information Use Policies, enter HELP USAGE TERMS for details.

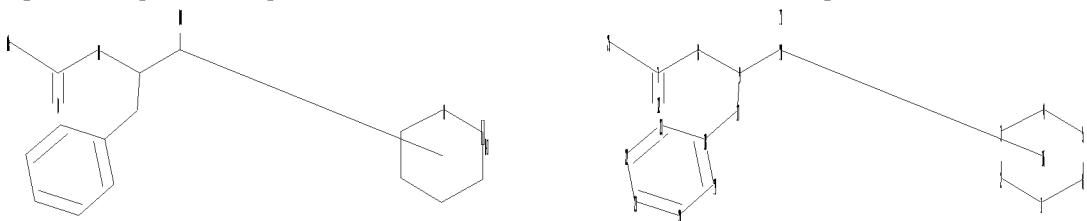
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stnqen/stndoc/properties.html>

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Uploading C:\Program Files\STNEXP\Queries\10599125updated.str



```

chain nodes :
7 8 9 10 11 12 17 25
ring nodes :
1 2 3 4 5 6 18 19 20 21 22 23
chain bonds :
7-8 7-12 7-25 8-9 9-10 9-17 10-11 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-23 19-20 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 7-25 8-9 10-11
exact bonds :
9-10 9-17 17-18
normalized bonds :
18-19 18-23 19-20 20-21 21-22 22-23

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isolated ring systems :
containing 18 :

G1

Match level :

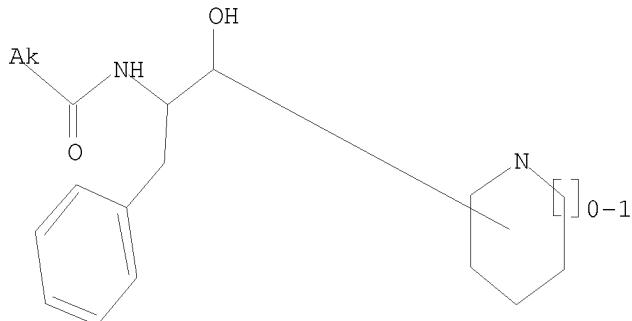
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 16:Atom 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 25:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss full

FULL SEARCH INITIATED 16:28:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 731719 TO ITERATE

94.1% PROCESSED 688416 ITERATIONS 294 ANSWERS

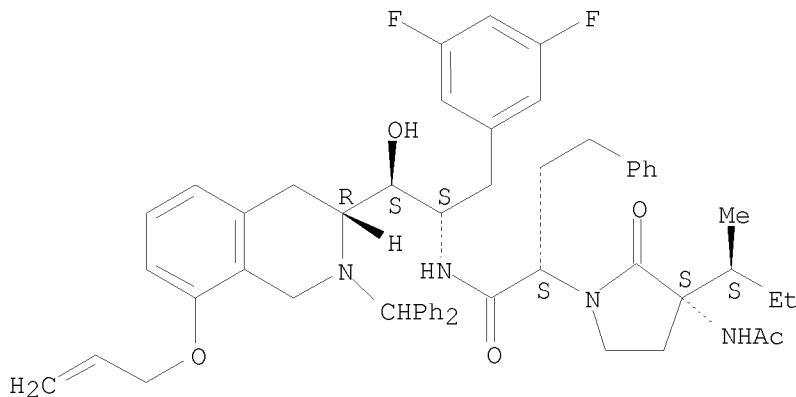
100.0% PROCESSED 731719 ITERATIONS 294 ANSWERS
SEARCH TIME: 00.00.27

L2 294 SEA SSS FUL L1

=> d scan

L2 294 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-
difluorophenyl)methyl]-2-[(3R)-2-(diphenylmethyl)-1,2,3,4-tetrahydro-8-(2-
propen-1-yloxy)-3-isoquinolinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-
oxo- α -(2-phenylethyl)-, (aS,3S)-
MF C54 H60 F2 N4 O5

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
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FILE 'CAPLUS' ENTERED AT 16:29:35 ON 14 AUG 2009
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FILE COVERS 1907 - 14 Aug 2009 VOL 151 ISS 8
 FILE LAST UPDATED: 13 Aug 2009 (20090813/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer

to NEWS 9.

=> d his

(FILE 'HOME' ENTERED AT 16:28:19 ON 14 AUG 2009)

FILE 'REGISTRY' ENTERED AT 16:28:34 ON 14 AUG 2009

L1 STRUCTURE UPLOADED
L2 294 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 16:29:35 ON 14 AUG 2009

=> s l2 and (pry<2005 or py<2005)

8 L2

4611594 PRY<2005

25141338 PY<2005

L3 6 L2 AND (PRY<2005 OR PY<2005)

=> d 1-6 ibib abs hitstr

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:333303 CAPLUS

DOCUMENT NUMBER: 144:343625

TITLE: Methods of treatment of amyloidosis using substituted ethanoliccyclicamine aspartyl protease inhibitors

INVENTOR(S): Hom, Roy; Fang, Lawrence; John, Varghese

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 139 pp., which
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006026532	A2	20060309	WO 2005-US30608	20050826 <--
WO 2006026532	A3	20060720		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2576782	A1	20060309	CA 2005-2576782	20050826 <--
EP 1789388	A2	20070530	EP 2005-792572	20050826 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008511643	T	20080417	JP 2007-530212	20050826 <--
US 20080166332	A1	20080710	US 2007-659788	20070821 <--
PRIORITY APPLN. INFO.:			US 2004-604706P	P 20040827 <--
			US 2004-632971P	P 20041206 <--
			WO 2005-US30608	W 20050826

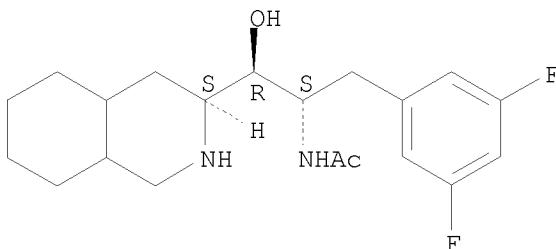
OTHER SOURCE(S): MARPAT 144:343625

AB The invention relates to novel compds. and methods of treating diseases,
disorders, and conditions associated with amyloidosis. Amyloidosis refers to

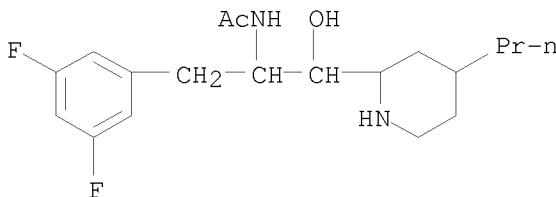
a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein.

IT 878138-13-7P 878138-25-1P,
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(4-propylpiperidin-2-yl)ethyl]acetamide 878138-41-1P,
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-piperidin-2-ylethyl]acetamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(methods of treatment of amyloidosis using substituted ethanolic cyclic amine aspartyl protease inhibitors)
RN 878138-13-7 CAPLUS
CN Acetamide, N-[1-(1S,2R)-2-[(3S)-decahydro-3-isoquinolinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)

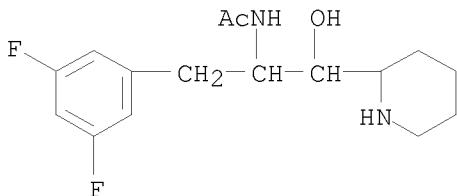
Absolute stereochemistry.



RN 878138-25-1 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(4-propyl-2-piperidinyl)ethyl]- (CA INDEX NAME)



RN 878138-41-1 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-piperidinyl)ethyl]- (CA INDEX NAME)



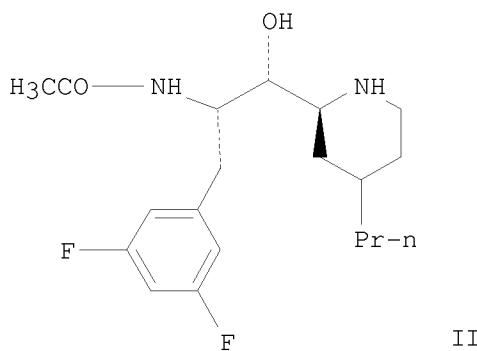
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:213031 CAPLUS
DOCUMENT NUMBER: 144:292575

TITLE: Preparation of ethanol cyclic amine selective
 β -secretase inhibitors for treatment of
 amyloidosis
 INVENTOR(S): Hom, Roy; Fang, Lawrence; John, Varghese
 PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 142 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006026533	A2	20060309	WO 2005-US30613	20050826 <--
WO 2006026533	A3	20060608		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2577392	A1	20060309	CA 2005-2577392	20050826 <--
US 20060074098	A1	20060406	US 2005-211484	20050826 <--
EP 1802574	A2	20070704	EP 2005-792436	20050826 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008511644	T	20080417	JP 2007-530213	20050826 <--
PRIORITY APPLN. INFO.:			US 2004-604705P	P 20040827 <--
			US 2004-632964P	P 20041206 <--
			WO 2005-US30613	W 20050826

OTHER SOURCE(S): CASREACT 144:292575; MARPAT 144:292575
 GI



AB The invention relates to ethanol cyclic amines ($R_2R_1CHCH(R_c)OH$ (I); $R_1 =$
 (un)substituted aryl, thien-2-yl, et al.; $R_2 = H, -C(O)NH, -NHC(O)CH_2halo,$
 $-NHC(O)CH(halo)_2$, et al.; $R_c =$ cyclic amine radical; addnl. details (16
 pages for 1st claim) are given in the claims; e.g.

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-2-((2S)-4-propylpiperidin-2-yl)ethyl]acetamide (shown as II)) and methods and mechanism of treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A-beta protein. Although the methods of preparation are not claimed, prepns. and/or characterization data for 3 examples of I are included. For example, II was prepared via Beak ortho-lithiation in 4 steps starting from tert-Bu 4-propylpiperidin-1-carboxylate and (2S)-2-dibenzylamino-3-(3,5-difluorophenyl)propionaldehyde (preparation described). Selective inhibition of β -secretase over cathepsin D/cathepsin E is illustrated for 2 examples of I, e.g. IC50 ratio >3.3 for N-[1-(decahydroisoquinolin-3-yl)-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide.

IT 878137-88-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2S)-piperidin-2-yl)ethyl]acetamide 878138-01-3P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2S)-4-propylpiperidin-2-yl)ethyl]acetamide 878138-13-7P,
N-[(1S,2R)-2-((3S)-Decahydroisoquinolin-3-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-25-1P,
N-[(1-3,5-Difluorobenzyl)-2-hydroxy-2-(4-propylpiperidin-2-yl)ethyl]acetamide 878138-27-3P,
N-[1-(Decahydroisoquinolin-3-yl)-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide 878138-29-5P,
N-[2-(4-Butyl-4-hydroxypiperidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-31-9P,
N-[1-(3,5-Difluorobenzyl)-2-[4-(4,4-dimethylpentyl)-4-hydroxypiperidin-2-yl]-2-hydroxyethyl]acetamide 878138-33-1P,
N-[2-(4-Butyl-4-hydroxy-1-azaspiro[5.5]undecan-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-35-3P,
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N-[1-Benzyl-2-hydroxy-2-(4-oxopiperidin-2-yl)ethyl]acetamide 878138-39-7P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(4-oxopiperidin-2-yl)ethyl]acetamide 878138-41-1P,
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(piperidin-2-yl)ethyl]acetamide 878138-43-3P, N-[1-(3,5-Difluorobenzyl)-2-[4-(4-ethylphenyl)piperidin-2-yl]-2-hydroxyethyl]acetamide 878138-45-5P, N-[2-(5-Butyl-4-oxopiperidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide 878138-47-7P,
N-[1-(3,5-Difluorobenzyl)-2-[5-(3-ethylphenyl)-4-oxopiperidin-2-yl]-2-hydroxyethyl]acetamide 878138-50-2P,
7-(2-Acetylamino-1-hydroxy-3-phenylpropyl)-1,4-dioxa-8-azaspiro[4.5]decane-8-carboxylic acid tert-butyl ester 878138-52-4P,
N-[1-(6-(3-tert-Butylcyclohexyl)piperidin-2-yl)-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide 878138-54-6P,
N-[3-(3,5-Difluorophenyl)-1-[6-(3,3-dimethylbutyl)piperidin-2-yl]-1-hydroxypropan-2-yl]acetamide 878138-56-8P,
N-[3-(3,5-Difluorophenyl)-1-[6-(4,4-dimethylpentyl)piperidin-2-yl]-1-hydroxypropan-2-yl]acetamide 878138-58-0P,
N-[3-(3,5-Difluorophenyl)-1-hydroxy-1-(6-phenethylpiperidin-2-yl)propan-2-yl]acetamide 878138-60-4P,
N-[1-(6-(3-tert-Butylphenyl)piperidin-2-yl)-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]acetamide 878138-62-6P,
N-[3-(3,5-Difluorophenyl)-1-hydroxy-1-[6-(3-methoxyphenethyl)piperidin-2-yl]propan-2-yl]acetamide 878138-64-8P,
N-[3-(3,5-Difluorophenyl)-1-[6-(3-fluorophenethyl)piperidin-2-yl]-1-hydroxypropan-2-yl]acetamide 878138-66-0P,
3-[2-[6-(2-Acetamido-3-(3,5-difluorophenyl)-1-hydroxypropyl)piperidin-2-yl]ethyl]-N,N-dipropylbenzamide 878138-68-2P,
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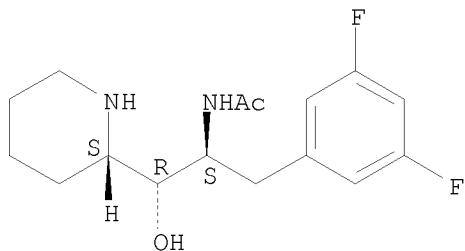
methoxycyclohexyl)ethyl]piperidin-2-yl]propan-2-yl]acetamide
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 3-[2-[6-[2-Acetamido-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidin-2-yl]ethyl]benzoic acid

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of ethanol cyclic amine selective
 β -secretase inhibitors for treatment of amyloidosis)

RN 878137-88-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2S)-2-piperidinylethyl]- (CA INDEX NAME)

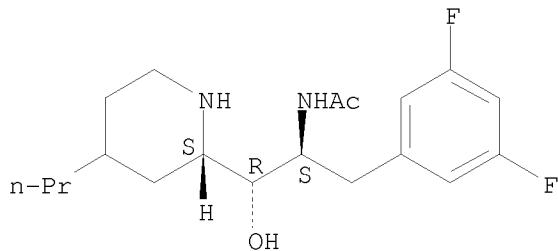
Absolute stereochemistry.



RN 878138-01-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2S)-4-propyl-2-piperidinyl]ethyl]- (CA INDEX NAME)

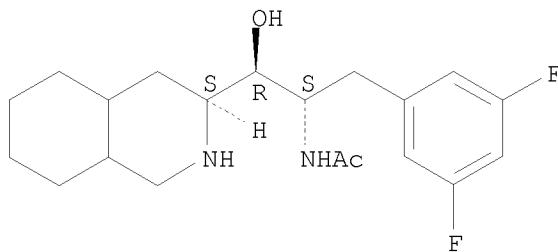
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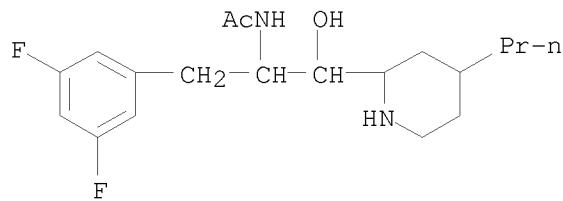
RN 878138-13-7 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(3S)-decahydro-3-isoquinolinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)

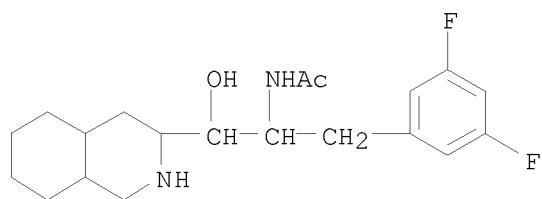
Absolute stereochemistry.



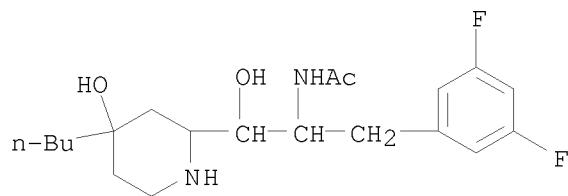
RN 878138-25-1 CAPLUS
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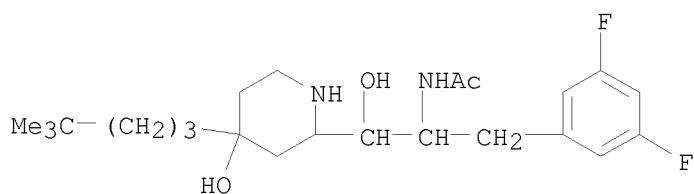
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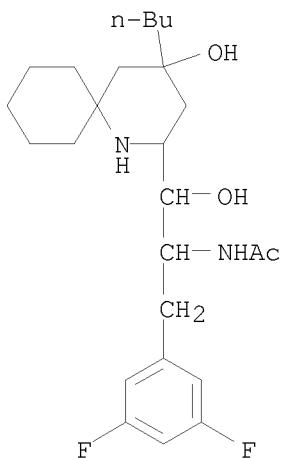
RN 878138-29-5 CAPLUS
 CN Acetamide, N-[2-(4-butyl-4-hydroxy-2-piperidinyl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



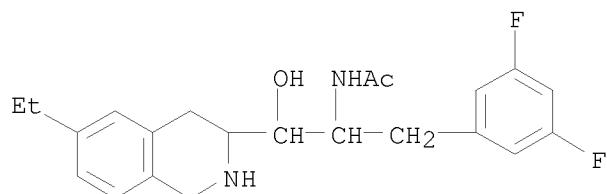
RN 878138-31-9 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[4-(4,4-dimethylpentyl)-4-hydroxy-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



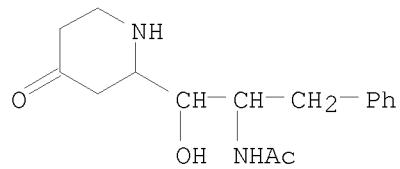
RN 878138-33-1 CAPLUS
 CN Acetamide, N-[2-(4-butyl-4-hydroxy-1-azaspiro[5.5]undec-2-yl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



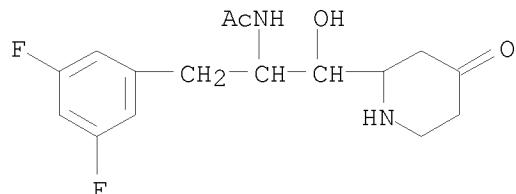
RN 878138-35-3 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-(6-ethyl-1,2,3,4-tetrahydro-3-isoquinoliny)-2-hydroxyethyl]- (CA INDEX NAME)



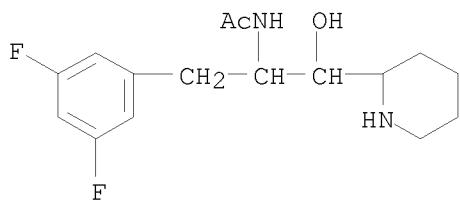
RN 878138-37-5 CAPLUS
 CN Acetamide, N-[2-hydroxy-2-(4-oxo-2-piperidinyl)-1-(phenylmethyl)ethyl]- (CA INDEX NAME)



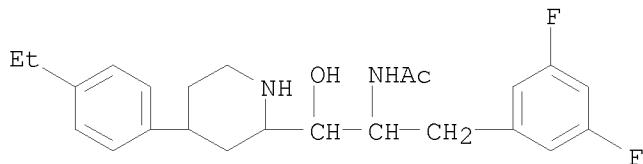
RN 878138-39-7 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(4-oxo-2-piperidinyl)ethyl]- (CA INDEX NAME)



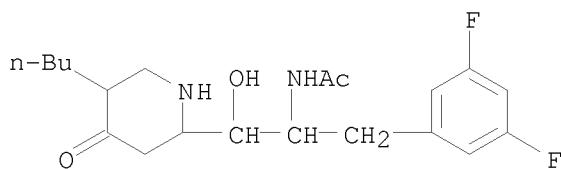
RN 878138-41-1 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2-piperidinyl)ethyl]- (CA INDEX NAME)



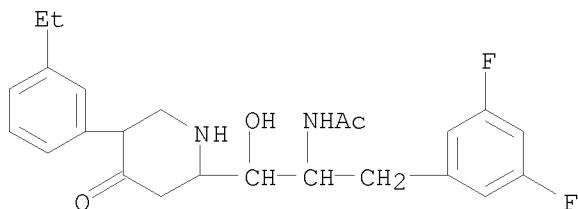
RN 878138-43-3 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[4-(4-ethylphenyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



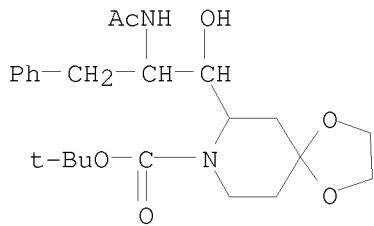
RN 878138-45-5 CAPLUS
 CN Acetamide, N-[2-(5-butyl-4-oxo-2-piperidinyl)-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



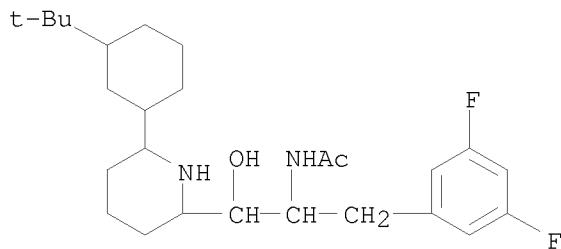
RN 878138-47-7 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[5-(3-ethylphenyl)-4-oxo-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



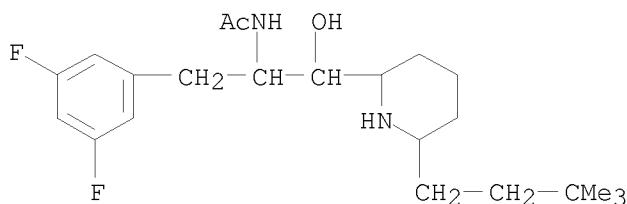
RN 878138-50-2 CAPLUS
 CN 1,4-Dioxa-8-azaspiro[4.5]decane-8-carboxylic acid, 7-[2-(acetylamino)-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



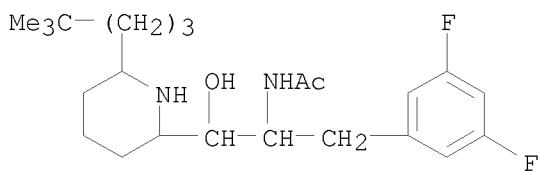
RN 878138-52-4 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-[3-(1,1-dimethylethyl)cyclohexyl]-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



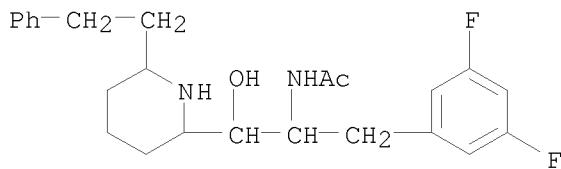
RN 878138-54-6 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-(3,3-dimethylbutyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



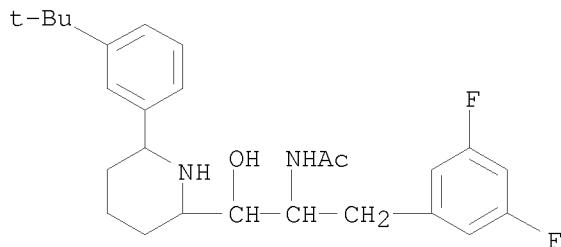
RN 878138-56-8 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-(4,4-dimethylpentyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



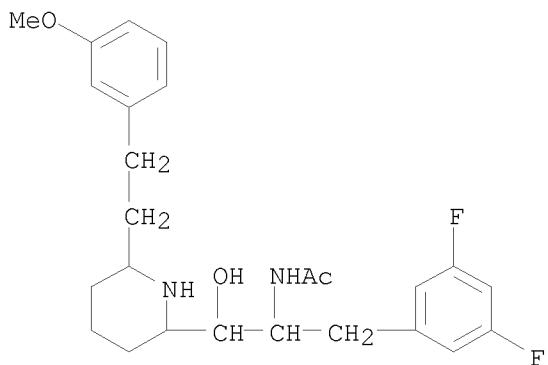
RN 878138-58-0 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[6-(2-phenylethyl)-2-piperidinyl]ethyl]- (CA INDEX NAME)



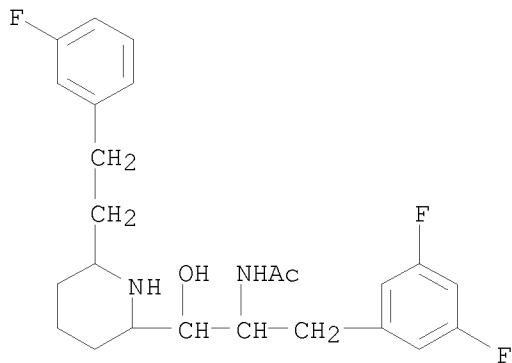
RN 878138-60-4 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-[3-(1,1-dimethylethyl)phenyl]-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



RN 878138-62-6 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[6-[2-(3-methoxyphenyl)ethyl]-2-piperidinyl]ethyl]- (CA INDEX NAME)

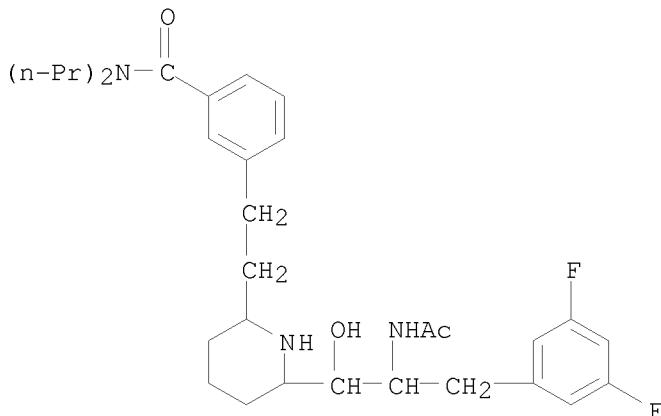


RN 878138-64-8 CAPLUS
 CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[6-[2-(3-fluorophenyl)ethyl]-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



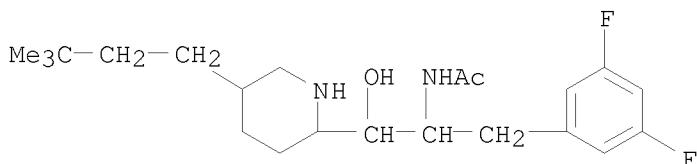
RN 878138-66-0 CAPLUS

CN Benzamide, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]-N,N-dipropyl- (CA INDEX NAME)



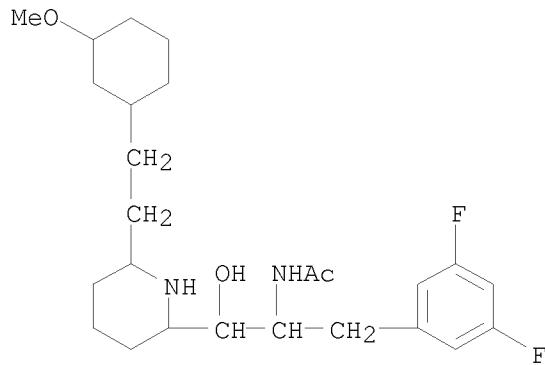
RN 878138-68-2 CAPLUS

CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-[5-(3,3-dimethylbutyl)-2-piperidinyl]-2-hydroxyethyl]- (CA INDEX NAME)



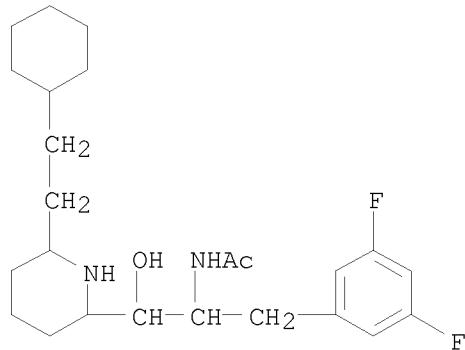
RN 878138-70-6 CAPLUS

CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[6-[2-(3-methoxycyclohexyl)ethyl]-2-piperidinyl]ethyl]- (CA INDEX NAME)



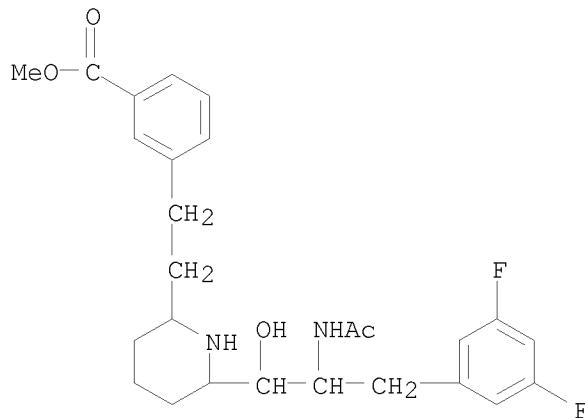
RN 878138-72-8 CAPLUS

CN Acetamide, N-[2-[6-(2-cyclohexylethyl)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]- (CA INDEX NAME)



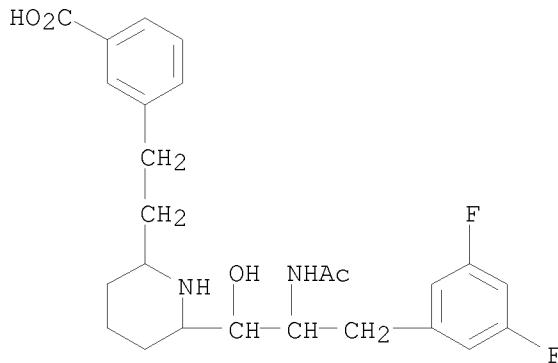
RN 878138-74-0 CAPLUS

CN Benzoic acid, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]-, methyl ester (CA INDEX NAME)



RN 878138-76-2 CAPLUS

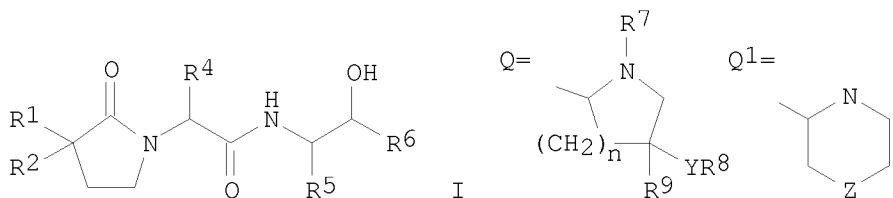
CN Benzoic acid, 3-[2-[6-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-2-piperidinyl]ethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2006:192220 CAPLUS
 DOCUMENT NUMBER: 144:254000
 TITLE: Preparation of novel gamma-lactams as beta-secretase
 inhibitors
 INVENTOR(S): Thompson, Lorin A.; Boy, Kenneth M.; Shi, Jianliang;
 Macor, John E.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 51 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060046984	A1	20060302	US 2005-206441	20050818 <--
US 7388007	B2	20080617		
WO 2006026204	A2	20060309	WO 2005-US29669	20050823 <--
WO 2006026204	A3	20060727		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2004-604624P	P 20040826 <--
			US 2005-660433P	P 20050310
			US 2005-206441	A 20050818
OTHER SOURCE(S): GI			CASREACT 144:254000; MARPAT 144:254000	



AB There is provided a series of novel substituted γ -lactams (2-pyrrolidinone) of formula (I) or stereoisomers or pharmaceutically acceptable salts thereof [R1 = H, C1-6 alkyl, NHR3; R2 = each (un)substituted C1-6 alkyl, C3-6 alkenyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-4 alkyl; R3 = C(O)R10, C(O)OR10, C(O)NHR10, S(O)nR10, (un)substituted C1-6alkyl; R4 = each (un)substituted C1-6 alkyl, C3-6 cycloalkyl, C3-6 cycloalkyl-C1-4 alkyl, Ph, or phenyl-C1-4alkyl; R5 = each (un)substituted C1-6 alkyl, Ph, or phenyl-C1-4 alkyl; R6 = Q, Q1; R7 = H, C1-4 alkyl; n = 1, 2; Y = O, NR7, S(O)n; Z = CH2, O, S; R8, R9 = independently H, C1-4 alkyl, C3-6 alkenyl, C3-6 alkynyl, or each (un)substituted Ph or pyridyl; or YR8 and R9 are joined together with the carbon to which they are attached to form a 5- or 6-membered ring (wherein Y = O and R8 and R9 are -CH2(CH2)n-O-); R10 = (un)substituted C1-4 alkyl]. Their pharmaceutical compns. containing the compds. I. These novel compds. inhibit the processing of amyloid precursor protein (APP) by β -secretase and, more specifically, inhibit the production of A β -peptide. They are useful in the treatment of neurol. disorders related to β -amyloid production, such as Alzheimer's disease, cerebral amyloid angiopathy, and Down's Syndrome, and other conditions affected by anti-amyloid activity. Thus, (2S)-2-[(3S)-3-acetamido-3-((R)-sec-butyl)-2-oxopyrrolidin-1-yl]-N-[(1R,2S)-3-(3,5-difluorophenyl)-1-hydroxy-1-((2R)-piperidin-2-yl)propan-2-yl]-4-phenylbutanamide, which was prepared by condensation of (2S)-2-[(3S)-3-acetamido-3-((R)-sec-butyl)-2-oxopyrrolidin-1-yl]-4-phenylbutanoic acid with (1S,2S)-2-amino-1-((2R)-1-benzhydrylpiperidin-2-yl)-3-(3,5-difluorophenyl)propan-1-ol followed by hydrogenolysis over Pd, showed IC50 of <0.1 μ g/mL against β -secretase expressed in HEK293-9B.A1 cell line.

IT 877078-96-1P, (2S)-N-[(1S,2S)-1-[(2R,4R)-1-(4-Methoxybenzyl)-4-(allyloxy)pyrrolidin-2-yl]-3-(3,5-difluorophenyl)-1-hydroxypropan-2-yl]-2-((3R)-3-acetamido-3-isobutyl-2-oxopyrrolidin-1-yl)-4-phenylbutanamide
 877079-09-9P 877079-14-6P 877079-18-0P
 877079-22-6P 877079-26-0P 877079-30-6P
 877079-33-9P 877079-36-2P

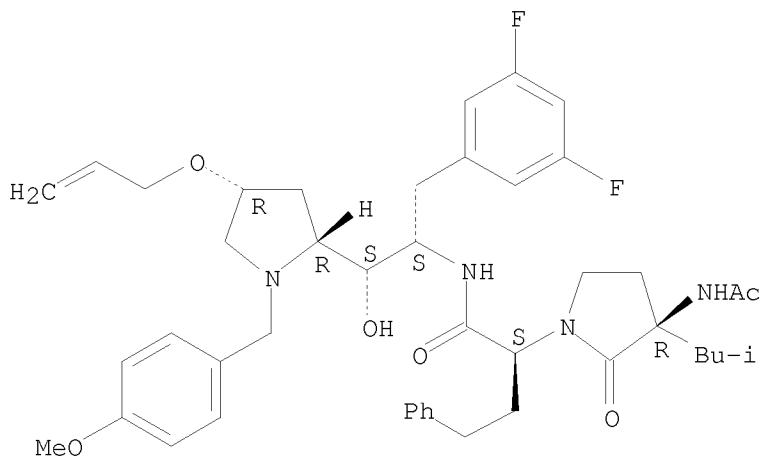
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of novel gamma-lactams as beta-secretase inhibitors for treatment of neurol. disorders related to β -amyloid production)

RN 877078-96-1 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-1-[(4-methoxyphenyl)methyl]-4-(2-propen-1-yloxy)-2-pyrrolidinyl]ethyl]-3-(2-methylpropyl)-2-oxo- α -(2-phenylethyl)-, (α S,3R)- (CA INDEX NAME)

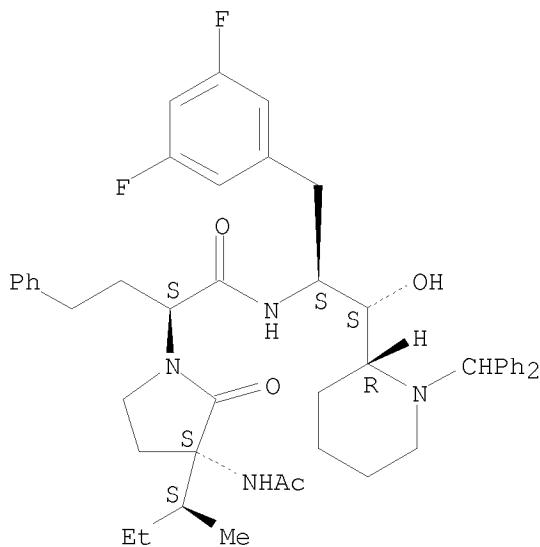
Absolute stereochemistry.



RN 877079-09-9 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R)-1-(diphenylmethyl)-2-piperidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (aS,3S)- (CA INDEX NAME)

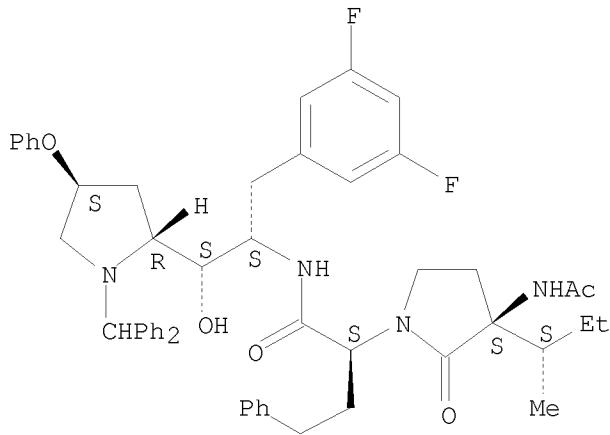
Absolute stereochemistry.



RN 877079-14-6 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-phenoxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (aS,3S)- (CA INDEX NAME)

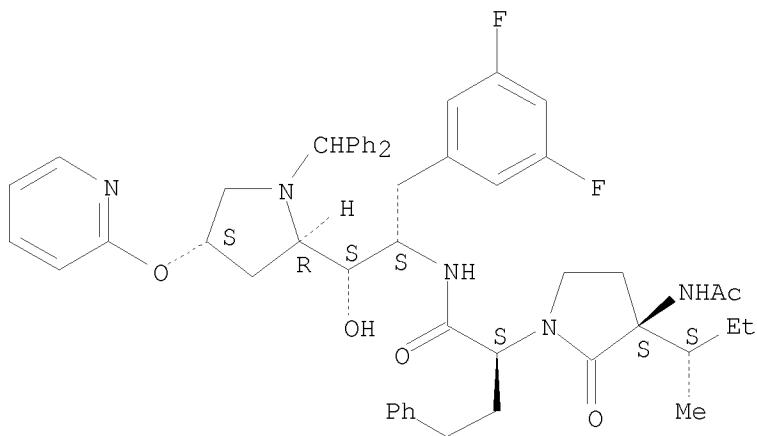
Absolute stereochemistry.



RN 877079-18-0 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-(2-pyridinyl)-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

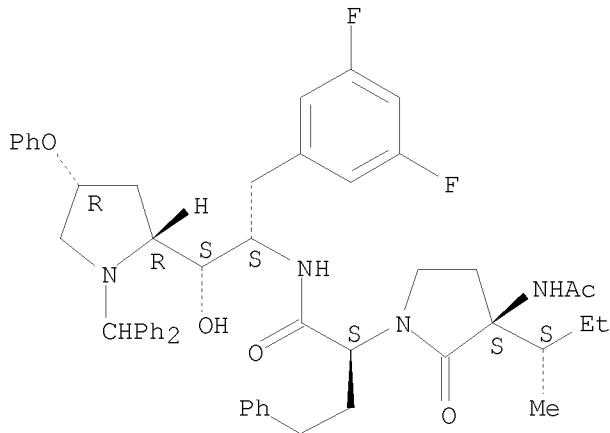
Absolute stereochemistry.



RN 877079-22-6 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-phenoxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

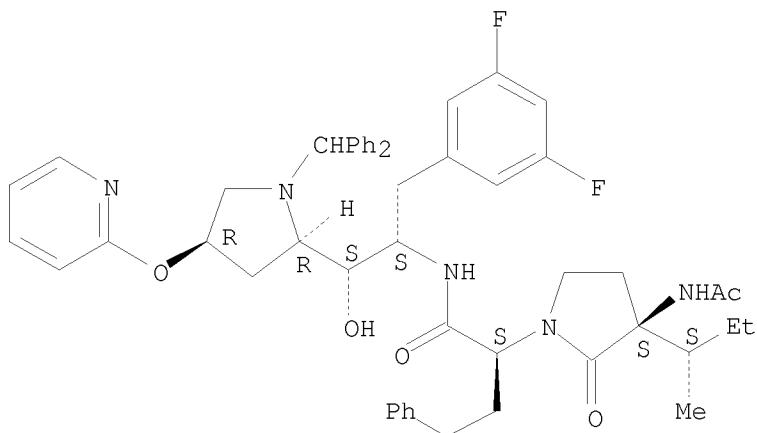
Absolute stereochemistry.



RN 877079-26-0 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-(2-pyridinyl)-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

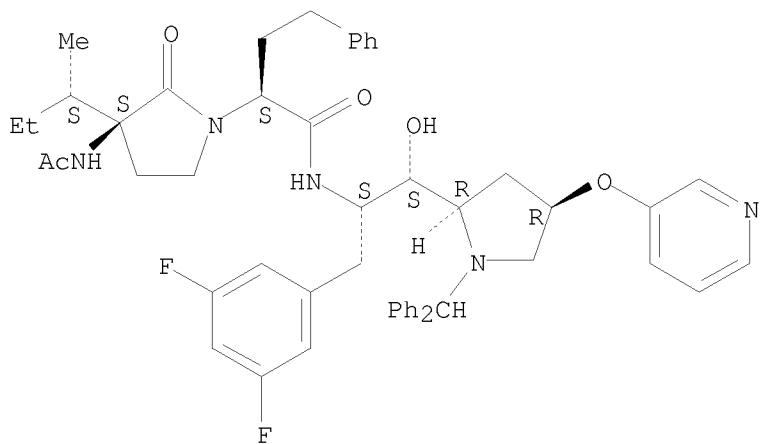
Absolute stereochemistry.



RN 877079-30-6 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-(3-pyridinyl)-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

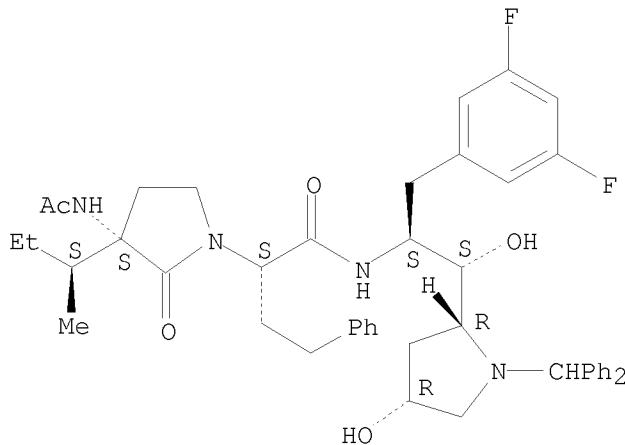
Absolute stereochemistry.



RN 877079-33-9 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-1-(diphenylmethyl)-4-hydroxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

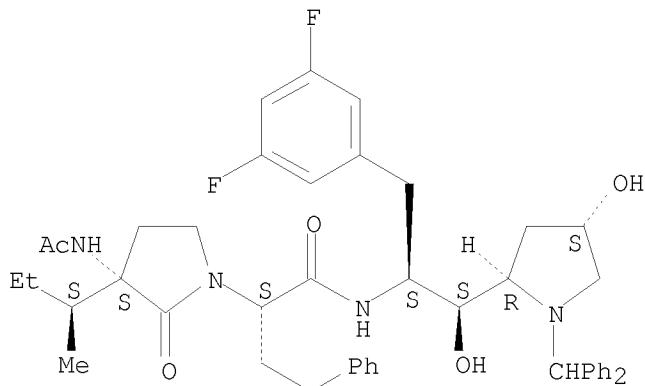
Absolute stereochemistry.



RN 877079-36-2 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2S)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-1-(diphenylmethyl)-4-hydroxy-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 877078-94-9P, (2S)-2-((3R)-3-Acetamido-3-isobutyl-2-oxopyrrolidin-1-yl)-N-[(1R,2S)-3-(3,5-difluorophenyl)-1-hydroxy-1-((2R,4R)-4-propoxypyrrolidin-2-yl)propan-2-yl]-4-phenylbutanamide
 877078-97-2P 877079-08-8P 877079-11-3P
 877079-15-7P 877079-19-1P 877079-23-7P
 877079-27-1P 877079-31-7P 877079-34-0P
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 877079-45-3P 877079-47-5P 877079-49-7P

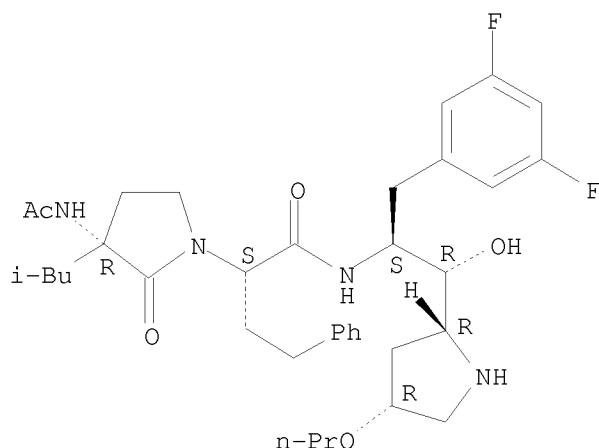
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel gamma-lactams as beta-secretase inhibitors for treatment of neurodegenerative disorders related to β -amyloid production)

RN 877078-94-9 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-propoxy-2-pyrrolidinyl]ethyl]-3-(2-methylpropyl)-2-oxo- α -(2-phenylethyl)-, (aS,3R)- (CA INDEX NAME)

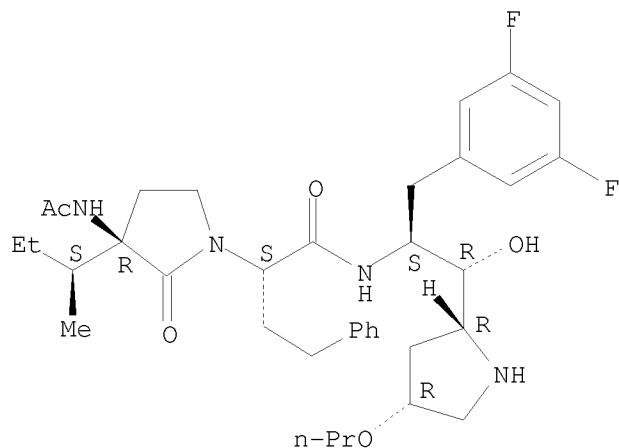
Absolute stereochemistry.



RN 877078-97-2 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-propoxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (aS,3R)- (CA INDEX NAME)

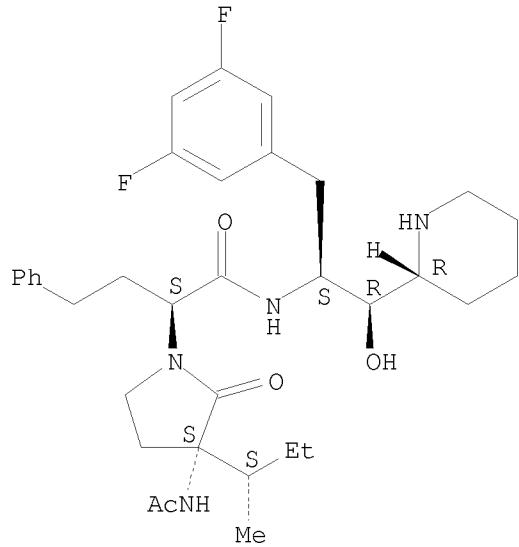
Absolute stereochemistry.



RN 877079-08-8 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

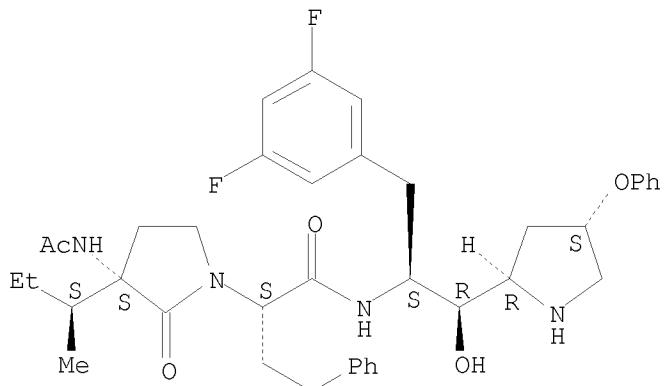
Absolute stereochemistry.



RN 877079-11-3 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

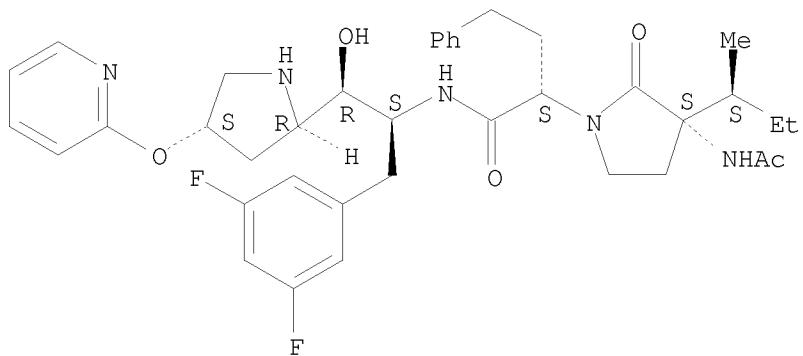
Absolute stereochemistry.



RN 877079-15-7 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-pyridinyloxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

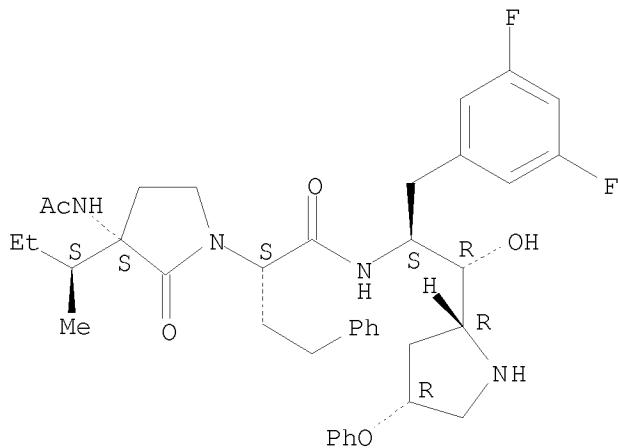
Absolute stereochemistry.



RN 877079-19-1 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-phenoxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

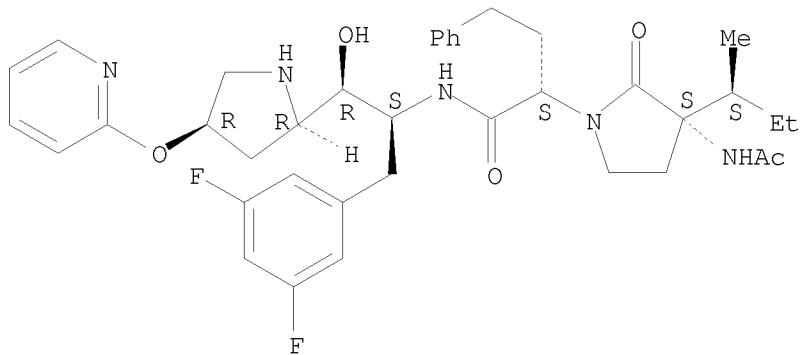
Absolute stereochemistry.



RN 877079-23-7 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-pyridinyloxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

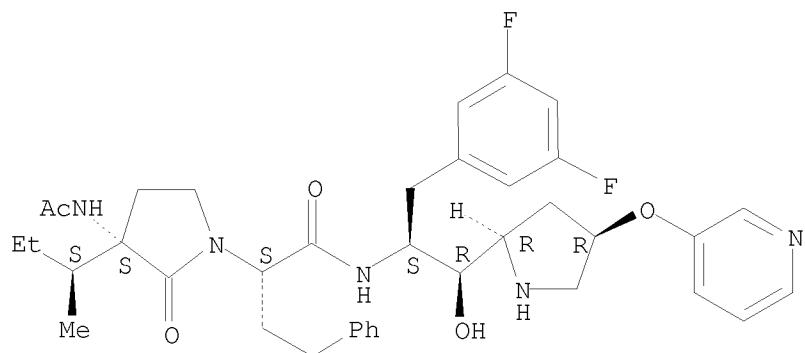
Absolute stereochemistry.



RN 877079-27-1 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-pyridinyloxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

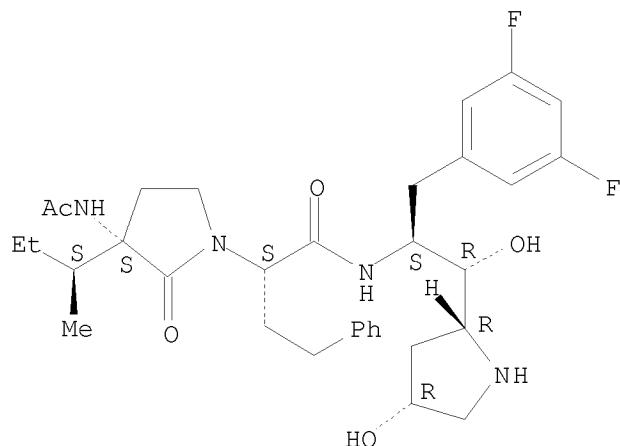
Absolute stereochemistry.



RN 877079-31-7 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylaminomethyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

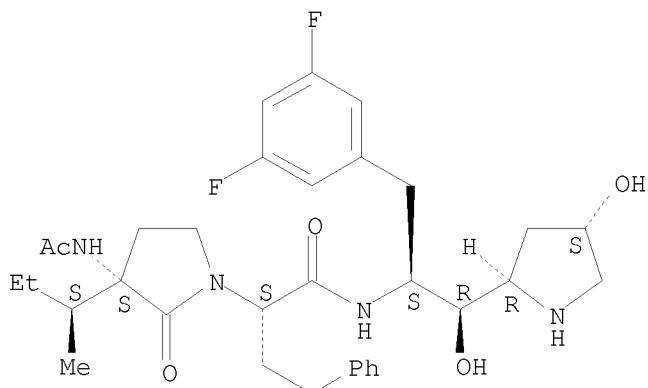
Absolute stereochemistry.



RN 877079-34-0 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylaminomethyl)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-hydroxy-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

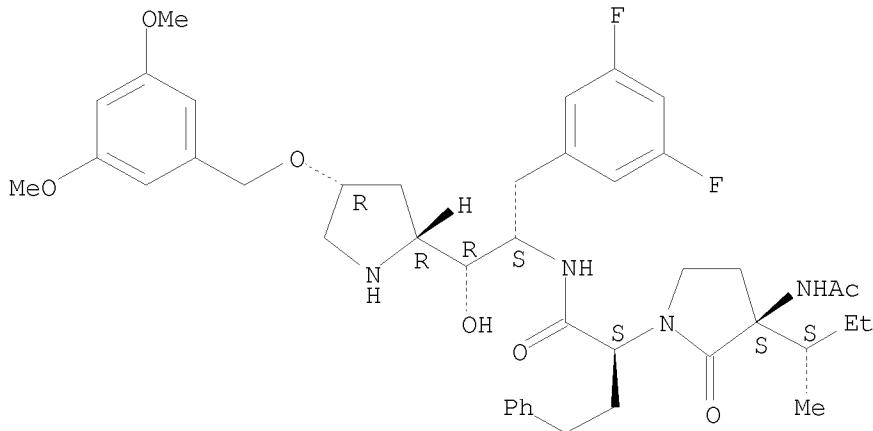
Absolute stereochemistry.



RN 877079-39-5 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(3,5-dimethoxyphenyl)methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

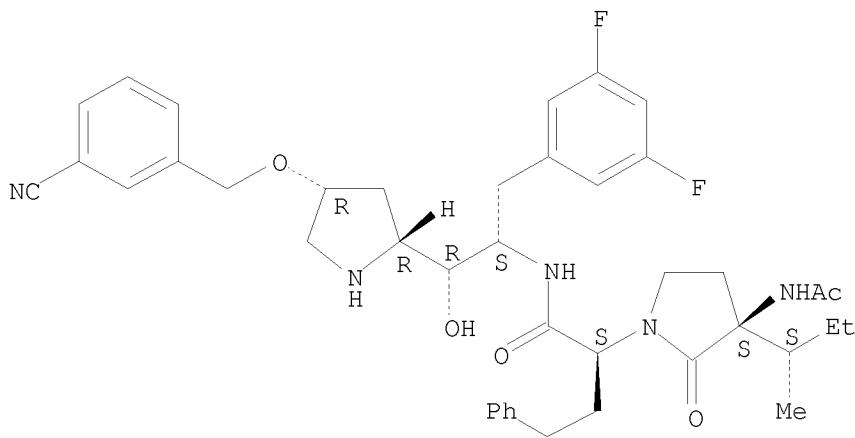
Absolute stereochemistry.



RN 877079-41-9 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-2-[(2R,4R)-4-[(3-cyanophenyl)methoxy]-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

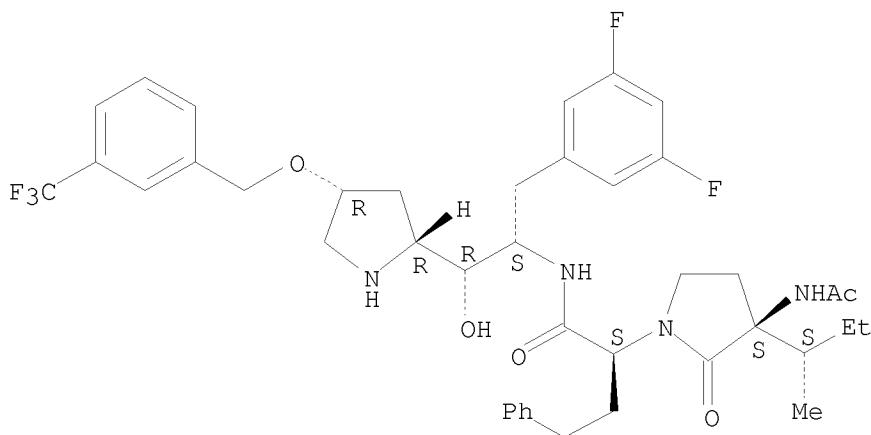
Absolute stereochemistry.



RN 877079-43-1 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(3-(trifluoromethyl)phenyl)methoxy]-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

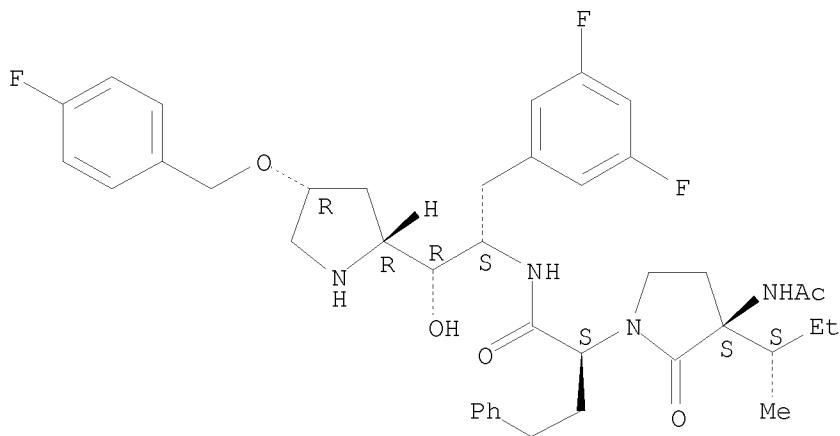
Absolute stereochemistry.



RN 877079-45-3 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(4-fluorophenyl)methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-3-[(1S)-1-methylpropyl]-2-oxo-α-(2-phenylethyl)-, (αS,3S)- (CA INDEX NAME)

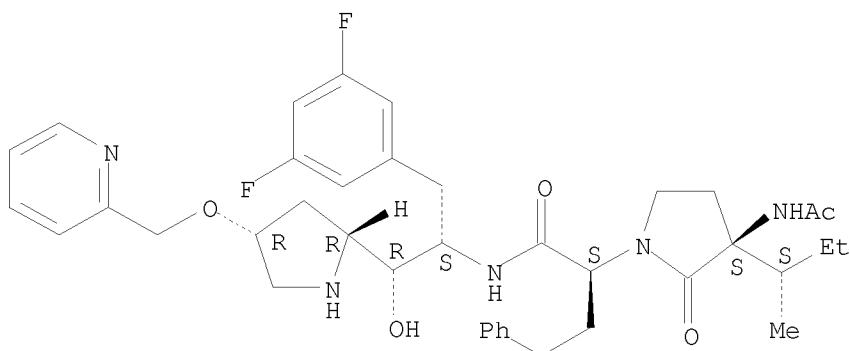
Absolute stereochemistry.



RN 877079-47-5 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-pyridinylmethoxy)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

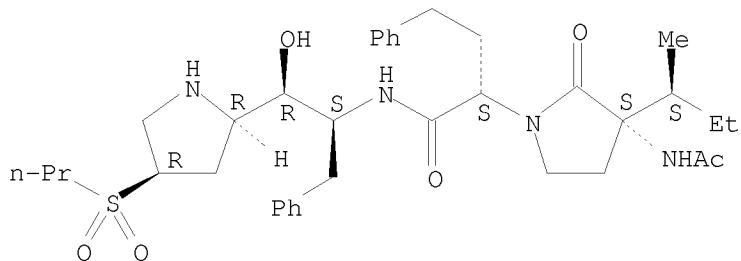
Absolute stereochemistry.



RN 877079-49-7 CAPLUS

CN 1-Pyrrolidineacetamide, 3-(acetylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-[(2R,4R)-4-(propylsulfonyl)-2-pyrrolidinyl]ethyl]-3-[(1S)-1-methylpropyl]-2-oxo- α -(2-phenylethyl)-, (α S,3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

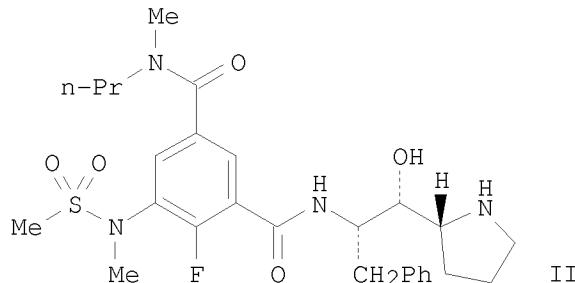
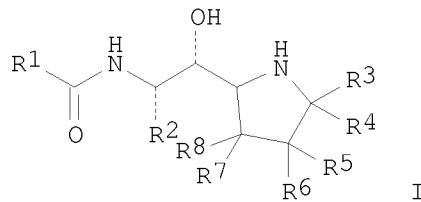
28

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:1220126 CAPLUS
 DOCUMENT NUMBER: 143:477844
 TITLE: Preparation of acylated
 2-amino-1-(pyrrolidin-2-yl)ethanols and derivatives as
 BACE inhibitors for treating Alzheimer's
 INVENTOR(S): Dally, Robert Dean; Shepherd, Timothy Alan; Bender,
 David Michael; Rojo Garcia, Maria Isabel
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: PCT Int. Appl., 193 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108358	A2	20051117	WO 2005-US12191	20050408 <--
WO 2005108358	A3	20060526		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1740575	A2	20070110	EP 2005-778064	20050408 <--
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JP 2007533741	T	20071122	JP 2007-509508	20050408 <--
US 20070213331	A1	20070913	US 2006-599129	20060920 <--
PRIORITY APPLN. INFO.:			US 2004-564538P	P 20040422 <--
			WO 2005-US12191	W 20050408

OTHER SOURCE(S): CASREACT 143:477844; MARPAT 143:477844
 GI



AB Title compds. I [R1 = biphenyl substituted with halo, (un)substituted cycloalkyl/alk(en/yn)yl, cycloalkyl; R2 = alkyl, (un)substituted benzyl; R3 = H, alkyl; R4 = H, alkyl, Ph; R3CR4 = cycloalkyl ring; R5 = H, F, CF₃, (un)substituted Ph; R6 = F, OH, OTs, , etc.; R5R6 = :CHC(:O)-alkoxy; R7 = H, F; R6 and R7 taken together for a bond; R8 = H, F; and their pharmaceutically acceptable salts; with provisos] were prepared as β -site APP-cleaving enzyme (BACE) inhibitors. Thus, amidation of 6-Fluoro-5-[(methylsulfonyl)(methyl)amino]-N-methyl-N-propylisophthalamic acid (preparation given) with (R)-2-((1S,2S)-2-Amino-1-hydroxy-3-phenylpropyl)pyrrolidine-1-carboxylic acid tert-Bu ester and Boc-deprotection gave II•HCl. I exhibited an IC₅₀ for BACE1 and BACE2 of at least 15 μ M in a BACE1 and BACE2 mcaFRET assay. Thus, I are useful for treating Alzheimer's disease and preventing progressive of mild cognitive impairment to Alzheimer's disease.

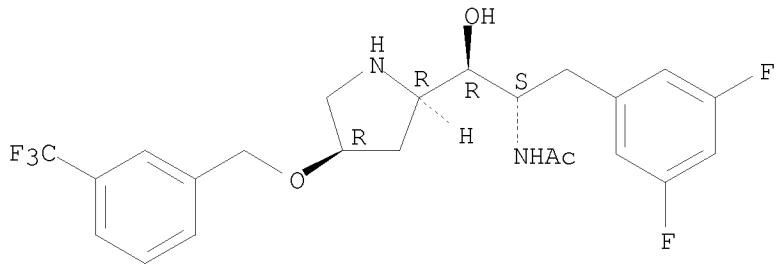
IT 869530-21-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[(3-trifluoromethylbenzyl)oxy]pyrrolidin-2-yl]ethyl]acetamide hydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869530-21-2 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(3-(trifluoromethyl)phenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 869530-36-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((R)-pyrrolidin-2-yl)ethyl]acetamide hydrochloride 869530-37-0P,
 Toluene-4-sulfonic acid (3R,5R)-5-((1R,2S)-2-acetylamino-1-hydroxy-3-phenylpropyl)pyrrolidin-3-yl ester hydrochloride 869530-38-1P
 869530-60-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-pyrrolidin-2-ylethyl]acetamide hydrochloride 869530-61-0P,
 N-[(1S,2R)-1-Benzyl-2-(R)-(5,5-dimethylpyrrolidin-2-yl)-2-hydroxyethyl]acetamide hydrochloride 869530-62-1P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
 869530-64-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,5S)-5-phenylpyrrolidin-2-yl)ethyl]acetamide hydrochloride
 869530-65-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,4R)-4-hydroxypyrrrolidin-2-yl)ethyl]acetamide hydrochloride
 869530-66-5P 869530-69-8P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(benzyloxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869530-70-1P
 869530-71-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4S)-4-(hexyl)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
 869530-72-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(hexyl)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride
 869530-73-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[2-oxo-2-(piperidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide
 hydrochloride 869530-75-6P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-(piperidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
 869530-76-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[2-(morpholin-4-yl)-2-oxoethyl]pyrrolidin-2-yl]ethyl]acetamide
 hydrochloride 869530-77-8P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-[2-(morpholin-4-yl)-2-oxoethyl]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
 869530-78-9P 869530-79-0P 869530-80-3P
 869530-81-4P 869530-82-5P,
 N-[(1S,2R)-2-[(2R,4R)-4-[2-(Azepan-1-yl)-2-oxoethyl]pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride
 869530-83-6P, N-[(1S,2R)-2-[(2R,4S)-4-[2-(Azepan-1-yl)-2-oxoethyl]pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide
 hydrochloride 869530-84-7P,
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[2-oxo-2-(pyrrolidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide hydrochloride
 869530-85-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-(pyrrolidin-1-yl)ethyl]pyrrolidin-2-yl]ethyl]acetamide
 hydrochloride 869530-86-9P,
 [(R)-5-[(1R,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidin-(3Z)-ylidene]acetic acid methyl ester

hydrochloride 869530-88-1P,
[(R)-5-[(1R,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidin-(3E)-ylidene]acetic acid methyl ester hydrochloride 869530-89-2P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(2-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-91-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(4-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-92-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-butoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-93-8P, N-[(1S,2R)-2-[(2R,4S)-4-(3-Butoxyphenoxy)pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869530-94-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-(3-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-95-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-(2-methoxyphenoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-96-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-trifluoromethoxybenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-98-3P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methylbenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869530-99-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methoxybenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-00-0P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(4-methylbenzyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-01-1P, N-[(1S,2R)-2-[(2R,4R)-4-(4-tert-Butylbenzyloxy)pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-02-2P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(4-methylpentyloxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-03-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(3,3-dimethylbutoxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-04-4P, N-[(1S,2R)-2-[(2R,4R)-4-(2-Cyclohexylethoxy)pyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-05-5P,
N-[(1S,2R)-2-((2R,4R)-4-Cyclohexylmethoxypyrrrolidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-06-6P 869531-07-7P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(3,3-dimethylpentyloxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-08-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(4,4-dimethylpentyloxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-09-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(3,3-dimethyl-2-oxobutoxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869531-10-2P,
N-[(1S,2R)-2-((2R,4R)-4-Cyclopropylmethoxypyrrrolidin-2-yl)-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-11-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-13-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-propoxypyrrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-14-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(2-hydroxy-3,3-dimethylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-16-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-[(2R)-2-hydroxy-3,3-dimethylbutyl]oxy]pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-17-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(2-(S)-hydroxy-3,3-dimethylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-18-0P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(2R,4R)-4-(2,3-dihydroxy-3-methylbutoxy)pyrrolidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride

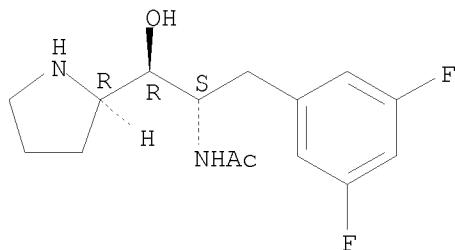
869531-20-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-hydroxy-3-methylbutoxy)pyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-22-6P, tert-Butylcarbamic acid (3R,5R)-5-[(1R,2S)-2-acetylaminoo-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidin-3-yl ester hydrochloride 869531-24-8P, Adamantan-1-ylcarbamic acid (3R,5R)-5-[(1R,2S)-2-acetylaminoo-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidin-3-yl ester hydrochloride 869531-25-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4R)-4-(3-methylbutoxy)-4-trifluoromethylpyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-26-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-(3-methylbutoxy)-4-trifluoromethylpyrrolidin-2-yl]ethyl]acetamide hydrochloride 869531-27-1P, N-[(1S,2R)-2-[(2R,4R)-4-(2-Cyclohexylethoxy)-4-trifluoromethylpyrrolidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869531-33-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,4R)-4-hydroxy-4-propylpyrrolidin-2-yl)ethyl]acetamide hydrochloride 869531-35-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-((2R,4S)-4-phenylpyrrolidin-2-yl)ethyl]acetamide trifluoroacetate 869531-36-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(2R,4S)-4-(3-isopropoxypyhenyl)pyrrolidin-2-yl]ethyl]acetamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869530-36-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

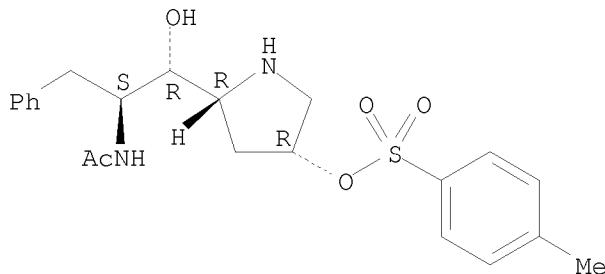


● HCl

RN 869530-37-0 CAPLUS

CN Acetamide, N-[(1S,2R)-2-hydroxy-2-[(2R,4R)-4-[(4-methylphenyl)sulfonyloxy]-2-pyrrolidinyl]-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

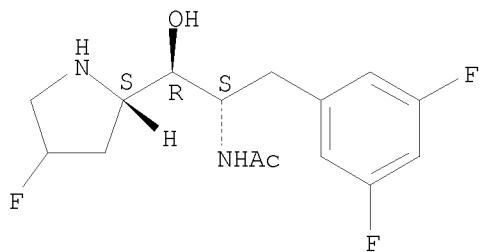


● HCl

RN 869530-38-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2S)-4-fluoro-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

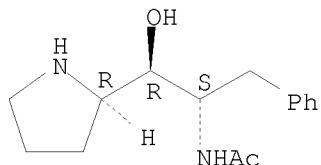


● HCl

RN 869530-60-9 CAPLUS

CN Acetamide, N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-pyrrolidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

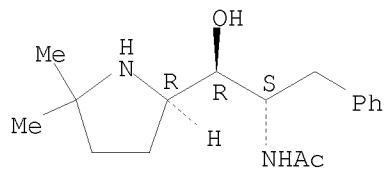


● HCl

RN 869530-61-0 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R)-5,5-dimethyl-2-pyrrolidinyl]-2-hydroxy-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

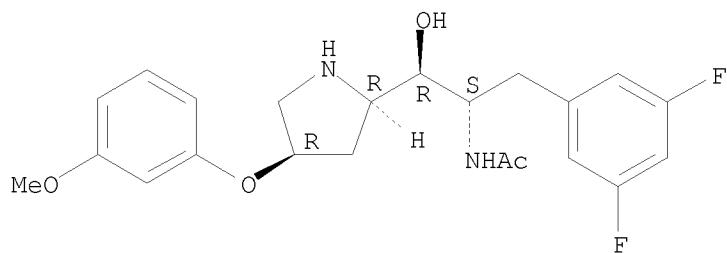


● HCl

RN 869530-62-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

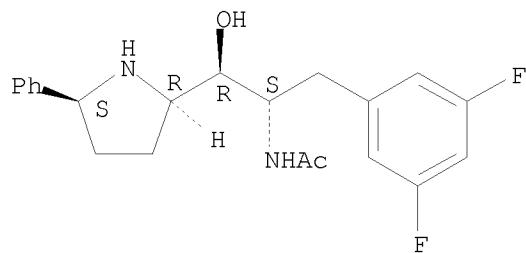


● HCl

RN 869530-64-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5S)-5-phenyl-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

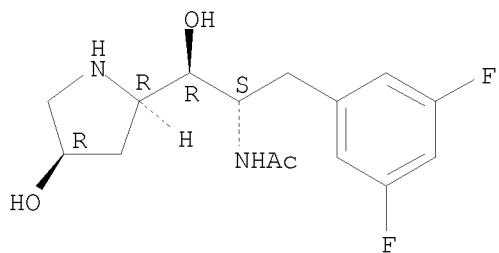


● HCl

RN 869530-65-4 CAPLUS

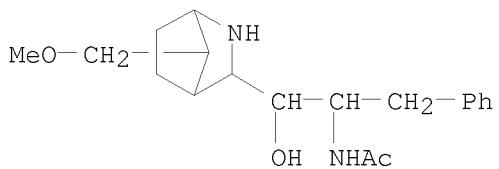
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

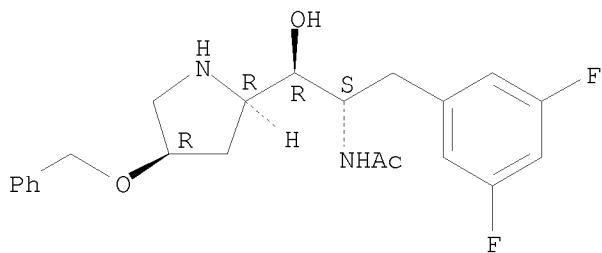
RN 869530-66-5 CAPLUS
 CN Acetamide, N-[2-hydroxy-2-[7-(methoxymethyl)-2-azabicyclo[2.2.1]hept-3-yl]-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 869530-69-8 CAPLUS
 CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(phenylmethoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

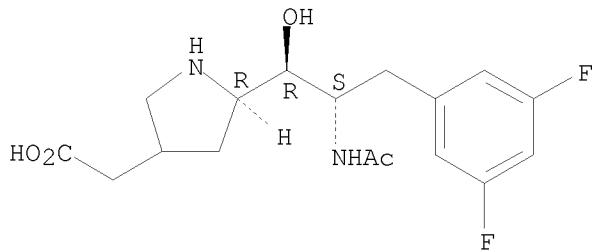
Absolute stereochemistry.



● HCl

RN 869530-70-1 CAPLUS
 CN 3-Pyrrolidineacetic acid, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

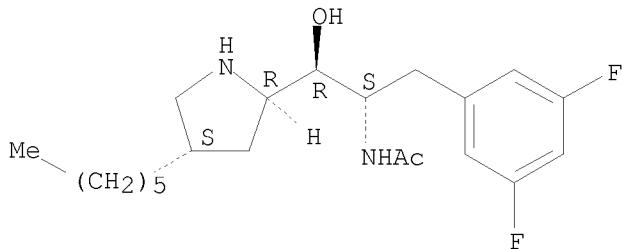


● HCl

RN 869530-71-2 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-hexyl-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

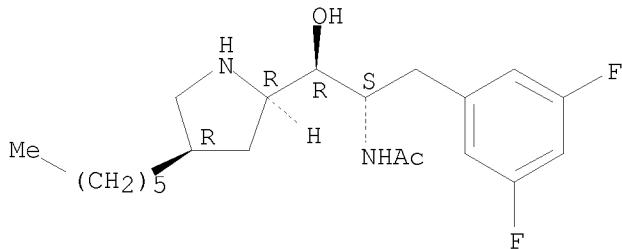


● HCl

RN 869530-72-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-hexyl-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

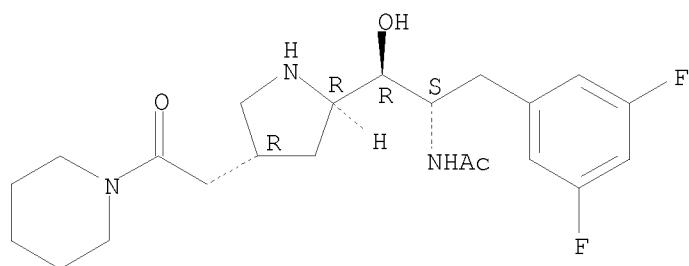


● HCl

RN 869530-73-4 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(2S)-2-methylpropyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

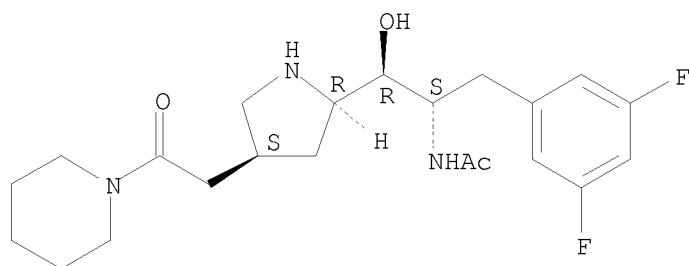


● HCl

RN 869530-75-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-(1-piperidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

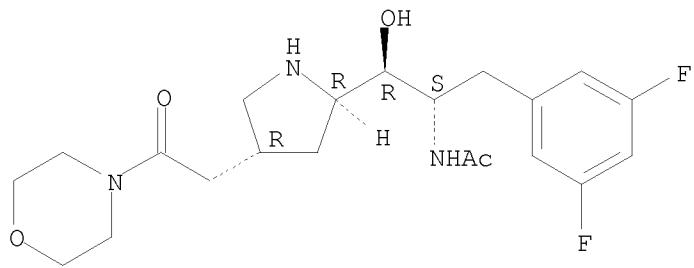


● HCl

RN 869530-76-7 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[2-(4-morpholinyl)-2-oxoethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

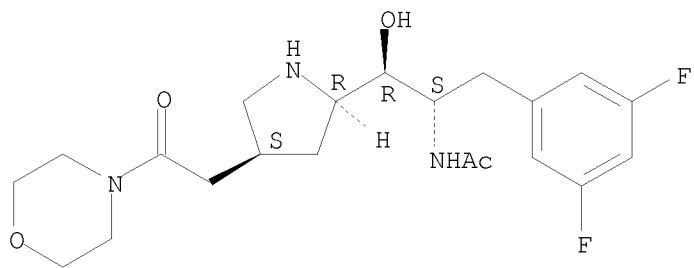
Absolute stereochemistry.



● HCl

RN 869530-77-8 CAPLUS
 CN Acetamide, N-[*(1S,2R)*-1-[*(3,5-difluorophenyl)methyl*]-2-hydroxy-2-[*(2R,4S)*-
*4-[2-(4-morpholinyl)-2-oxoethyl]-2-pyrrolidinyl*ethyl]-, hydrochloride
 (1:1) (CA INDEX NAME)

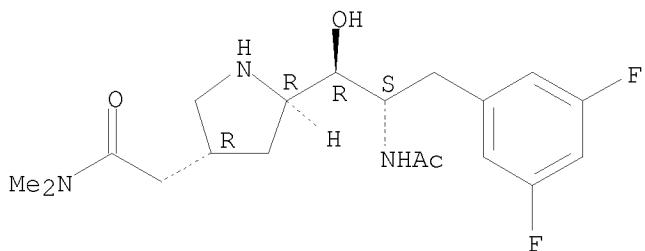
Absolute stereochemistry.



● HCl

RN 869530-78-9 CAPLUS
 CN 3-Pyrrolidineacetamide, 5-[*(1R,2S)*-2-(acetylamino)-3-(3,5-difluorophenyl)-
 1-hydroxypropyl]-N,N-dimethyl-, hydrochloride (1:1), (3*R*,5*R*)- (CA INDEX
 NAME)

Absolute stereochemistry.

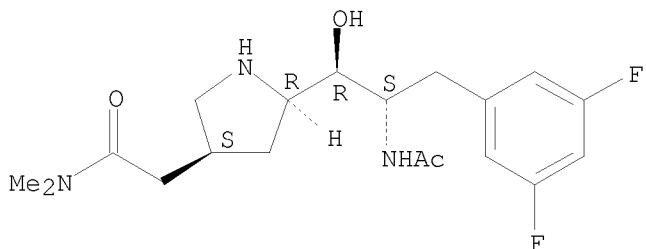


● HCl

RN 869530-79-0 CAPLUS

CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-dimethyl-, hydrochloride (1:1), (3S,5R)- (CA INDEX NAME)

Absolute stereochemistry.

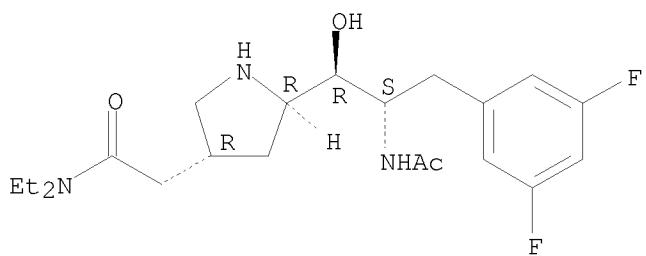


● HCl

RN 869530-80-3 CAPLUS

CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-diethyl-, hydrochloride (1:1), (3R,5R)- (CA INDEX NAME)

Absolute stereochemistry.

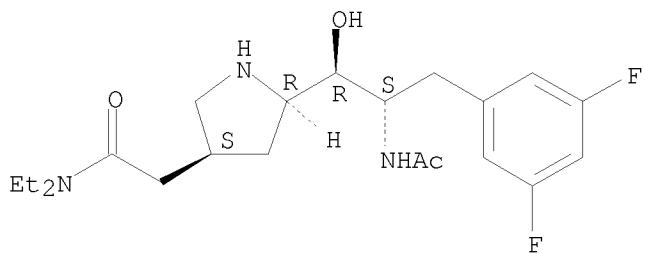


● HCl

RN 869530-81-4 CAPLUS

CN 3-Pyrrolidineacetamide, 5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-N,N-diethyl-, hydrochloride (1:1), (3S,5R)- (CA INDEX NAME)

Absolute stereochemistry.

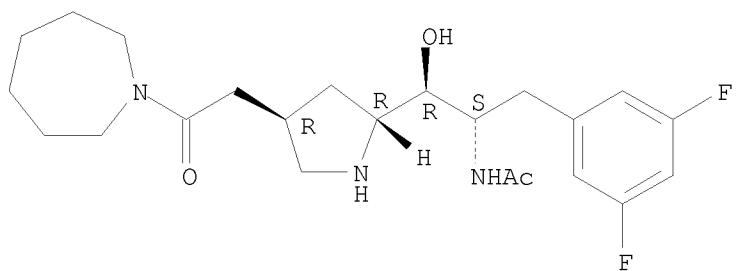


● HCl

RN 869530-82-5 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethyl]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

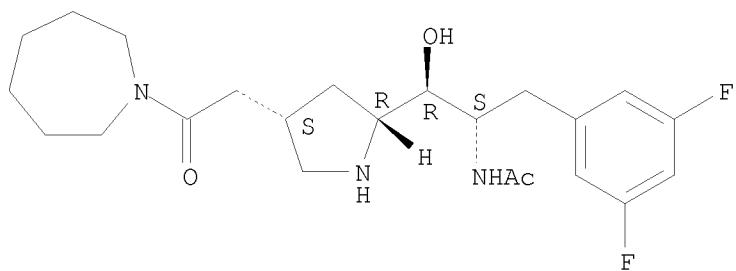


● HCl

RN 869530-83-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4S)-4-[2-(hexahydro-1H-azepin-1-yl)-2-oxoethyl]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

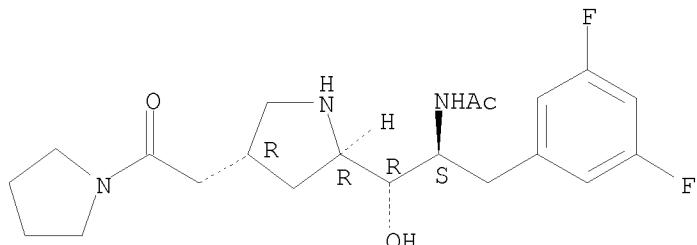


● HCl

RN 869530-84-7 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

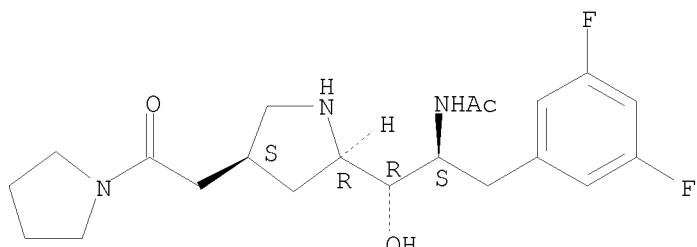


• HCl

RN 869530-85-8 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[2-oxo-2-(1-pyrrolidinyl)ethyl]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



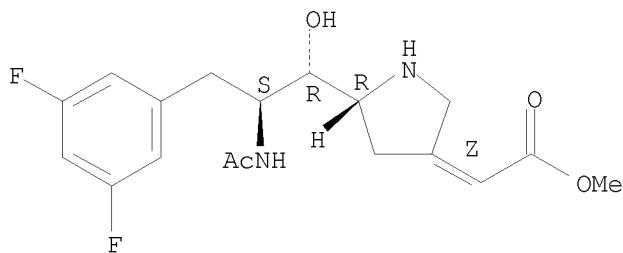
• HCl

RN 869530-86-9 CAPLUS

CN Acetic acid, 2-[(5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinylidene]-, methyl ester, hydrochloride (1:1), (2Z)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



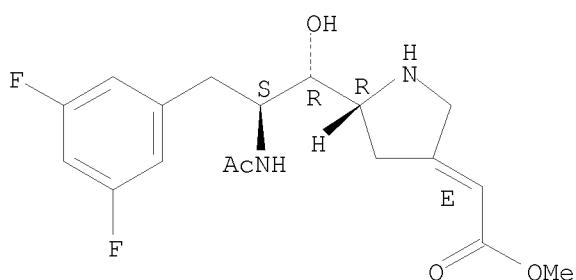
● HCl

RN 869530-88-1 CAPLUS

CN Acetic acid, 2-[(5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinylidene]-, methyl ester, hydrochloride (1:1), (2E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

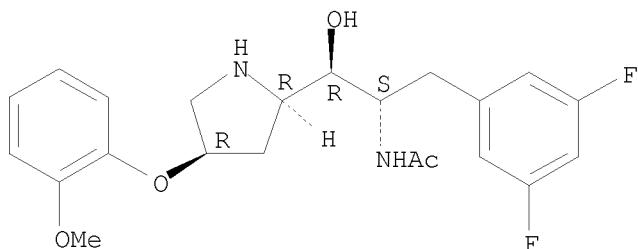


● HCl

RN 869530-89-2 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

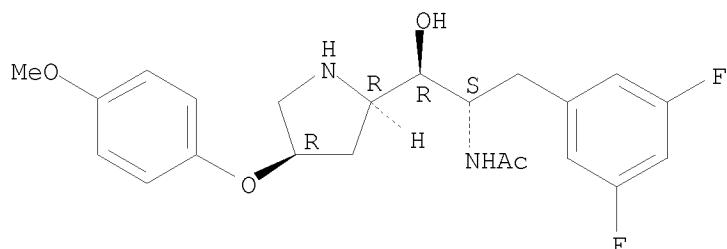
Absolute stereochemistry.



● HCl

RN 869530-91-6 CAPLUS
CN Acetamide, N-[(1*S*,2*R*)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2*R*,4*R*)-4-(4-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

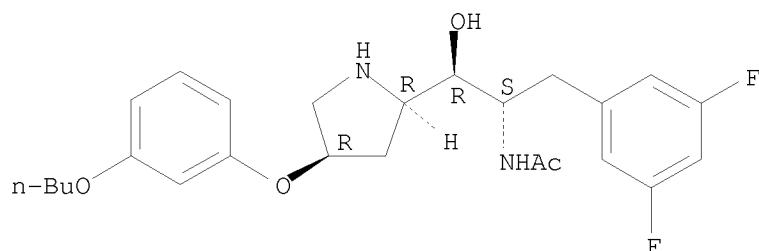
Absolute stereochemistry.



● HCl

RN 869530-92-7 CAPLUS
CN Acetamide, N-[(1*S*,2*R*)-2-[(2*R*,4*R*)-4-(3-butoxyphenoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

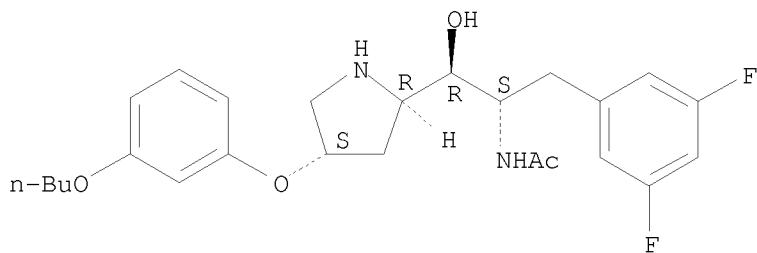
Absolute stereochemistry.



● HCl

RN 869530-93-8 CAPLUS
CN Acetamide, N-[(1*S*,2*R*)-2-[(2*R*,4*S*)-4-(3-butoxyphenoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

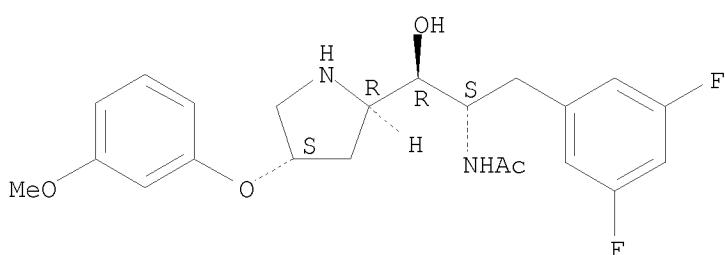


● HCl

RN 869530-94-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(3-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

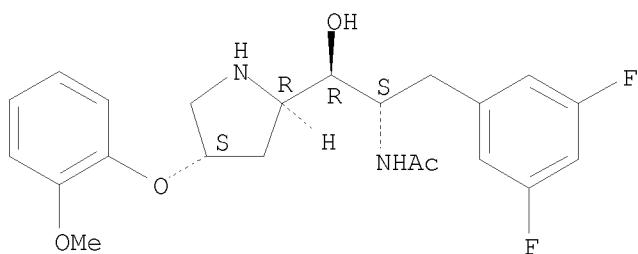


● HCl

RN 869530-95-0 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(2-methoxyphenoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



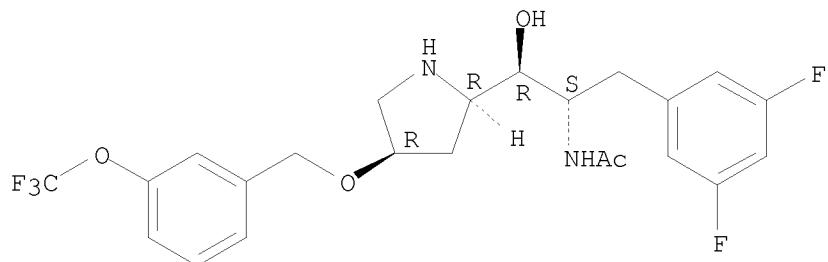
● HCl

RN 869530-96-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-

4-[(3-(trifluoromethoxy)phenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

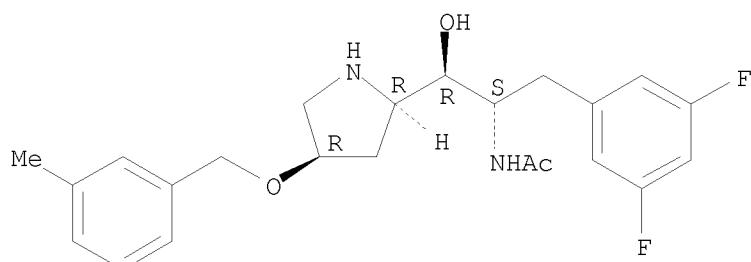


● HCl

RN 869530-98-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(3-methylphenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

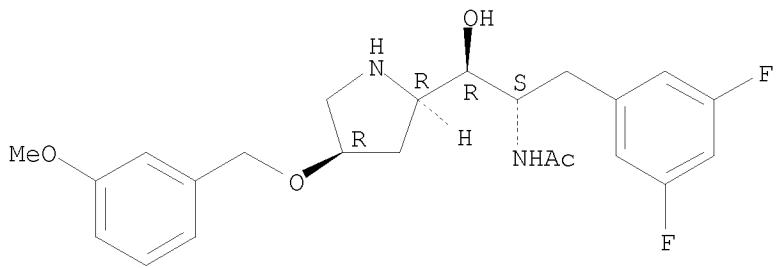


● HCl

RN 869530-99-4 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(3-methoxyphenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

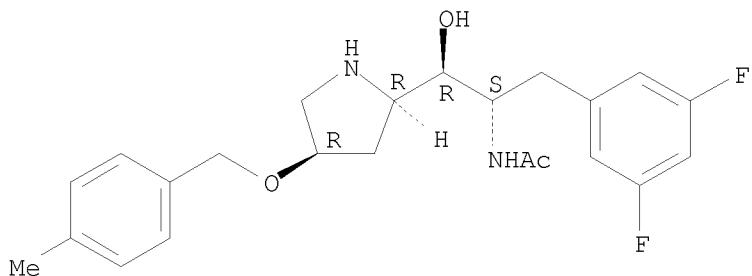


● HCl

RN 869531-00-0 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(4-methylphenyl)methoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

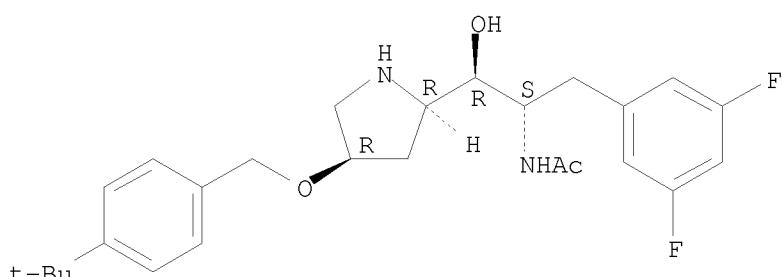


● HCl

RN 869531-01-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(4-(1,1-dimethylethyl)phenyl)methoxy]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

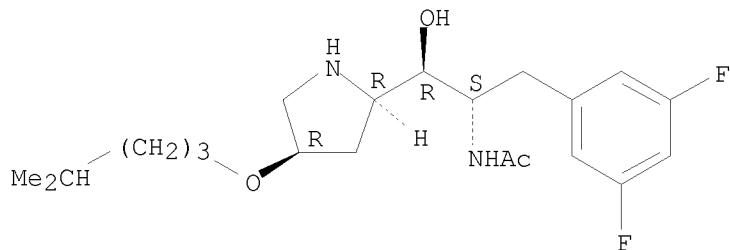
Absolute stereochemistry.



● HCl

RN 869531-02-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(4-methylpentyl)oxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

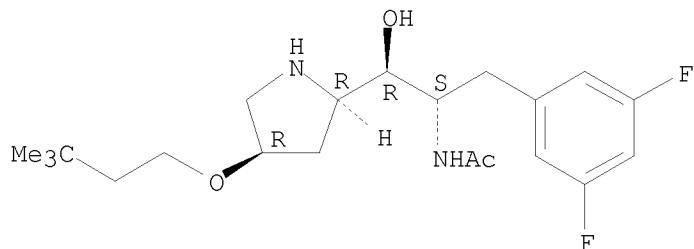
Absolute stereochemistry.



● HCl

RN 869531-03-3 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-(3,3-dimethylbutoxy)-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

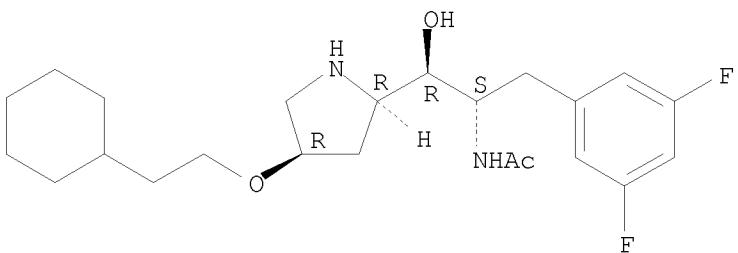
Absolute stereochemistry.



● HCl

RN 869531-04-4 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(2-cyclohexylethoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

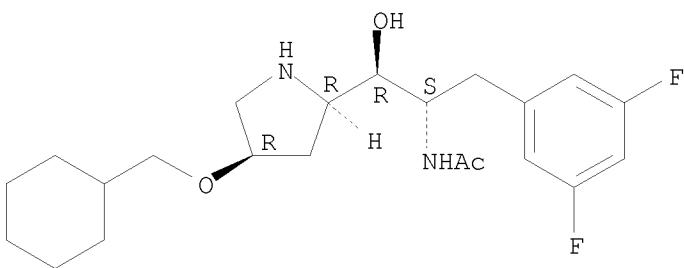


● HCl

RN 869531-05-5 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(cyclohexylmethoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



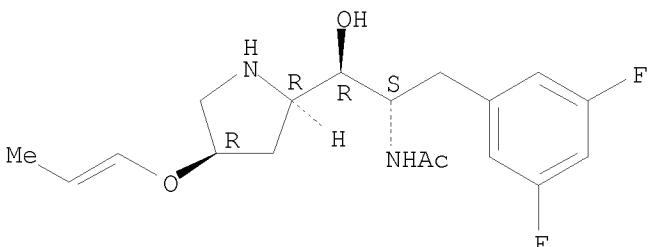
● HCl

RN 869531-06-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(1-propen-1-yloxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

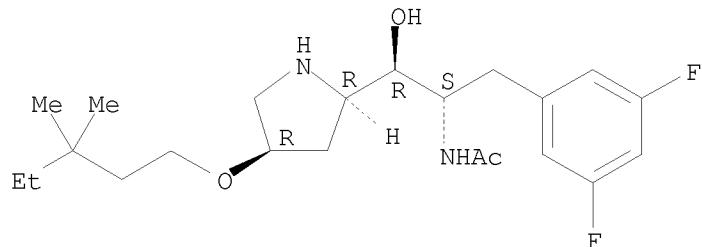


● HCl

RN 869531-07-7 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(3,3-dimethylpentyl)oxy]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

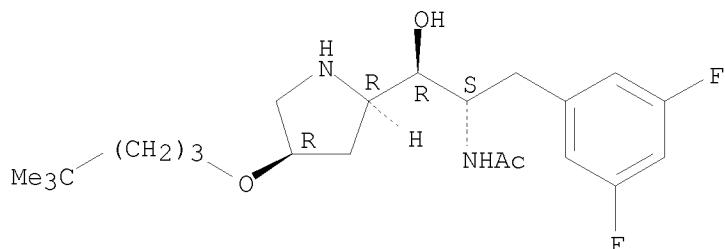


● HCl

RN 869531-08-8 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-[(4,4-dimethylpentyl)oxy]-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

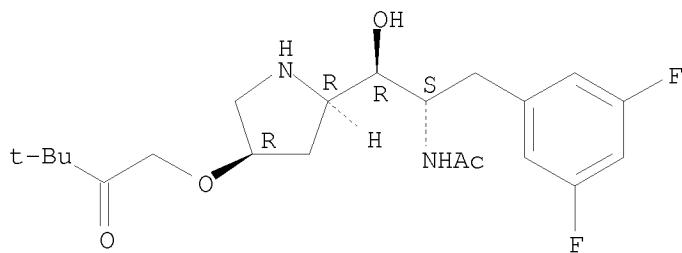


• HCl

RN 869531-09-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-(3,3-dimethyl-2-oxobutoxy)-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

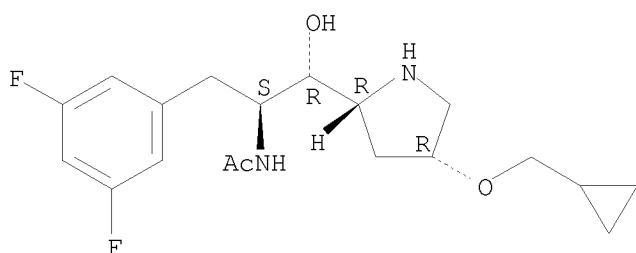


● HCl

RN 869531-10-2 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(cyclopropylmethoxy)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

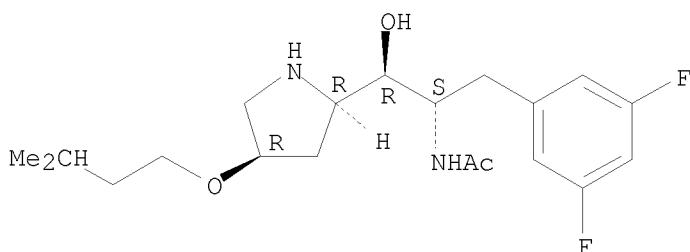


● HCl

RN 869531-11-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-methylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



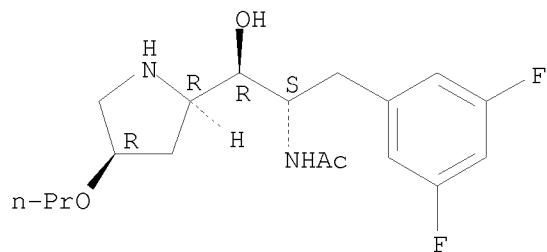
● HCl

RN 869531-13-5 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-

4-propoxy-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

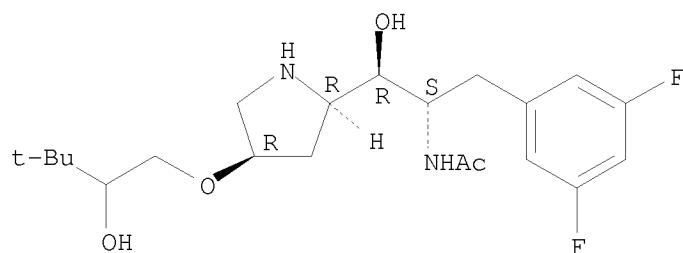


● HCl

RN 869531-14-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2-hydroxy-3,3-dimethylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

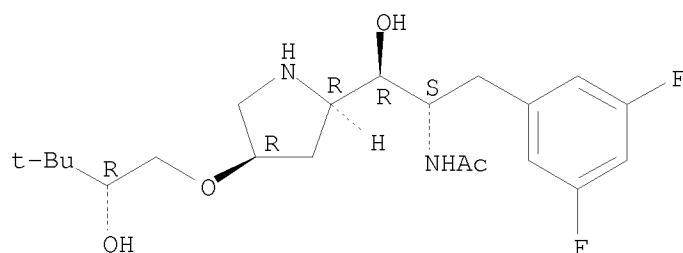


● HCl

RN 869531-16-8 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(2R)-2-hydroxy-3,3-dimethylbutoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

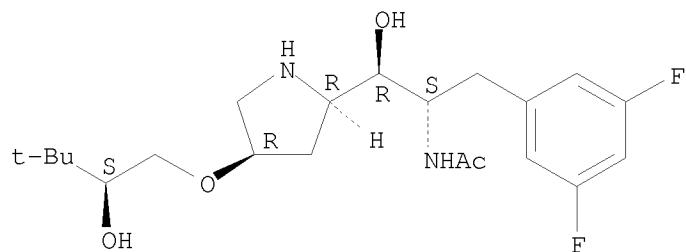
Absolute stereochemistry.



● HCl

RN 869531-17-9 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-[(2S)-2-hydroxy-3,3-dimethylbutoxy]-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

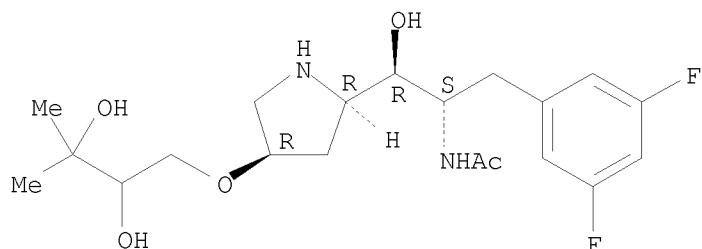
Absolute stereochemistry.



● HCl

RN 869531-18-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,4R)-4-(2,3-dihydroxy-3-methylbutoxy)-2-pyrrolidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

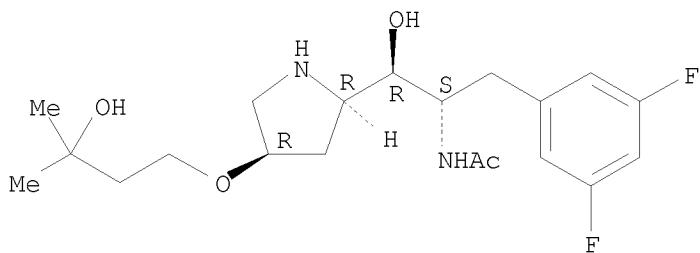
Absolute stereochemistry.



● HCl

RN 869531-20-4 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-(3-hydroxy-3-methylbutoxy)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

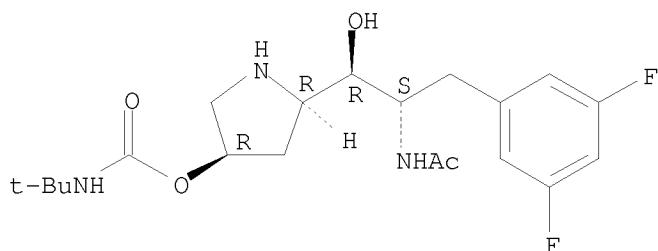


● HCl

RN 869531-22-6 CAPLUS

CN Carbamic acid, (1,1-dimethylethyl)-, (3R,5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

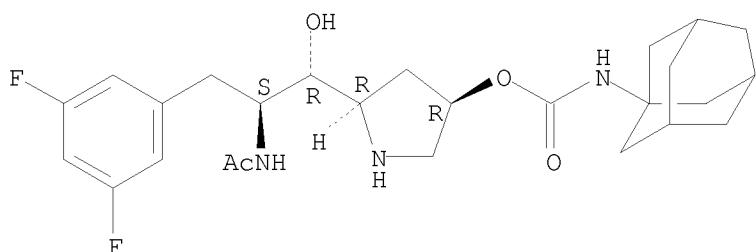


● HCl

RN 869531-24-8 CAPLUS

CN Carbamic acid, tricyclo[3.3.1.13,7]dec-1-yl-, (3R,5R)-5-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-3-pyrrolidinyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



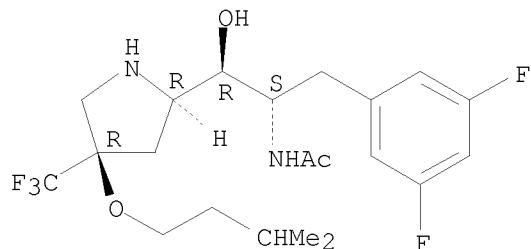
● HCl

RN 869531-25-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-

4-(3-methylbutoxy)-4-(trifluoromethyl)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

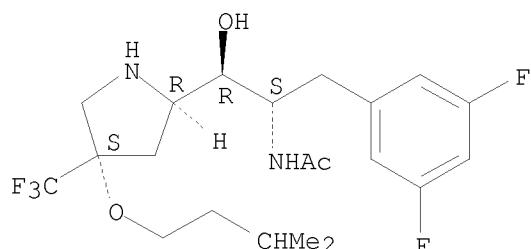


● HCl

RN 869531-26-0 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-(3-methylbutoxy)-4-(trifluoromethyl)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

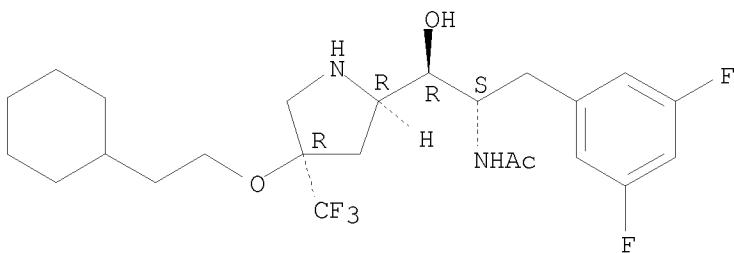


● HCl

RN 869531-27-1 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,4R)-4-(2-cyclohexylethoxy)-4-(trifluoromethyl)-2-pyrrolidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

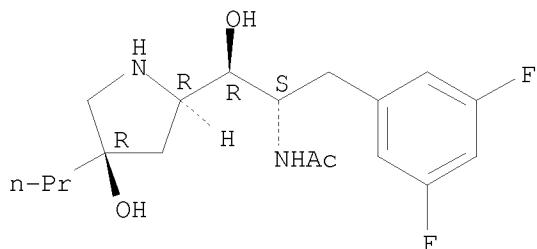


● HCl

RN 869531-33-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4R)-4-hydroxy-4-propyl-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 869531-35-1 CAPLUS

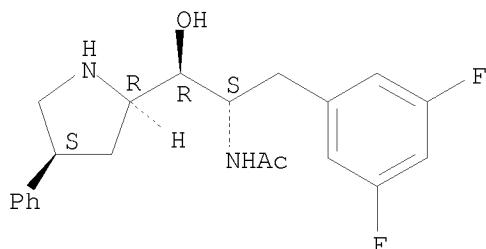
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-phenyl-2-pyrrolidinyl]ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 869531-34-0

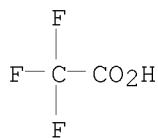
CMF C21 H24 F2 N2 O2

Absolute stereochemistry.



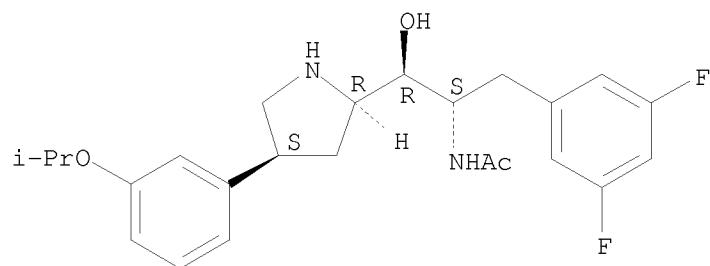
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 869531-36-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,4S)-4-[(3-(1-methylethoxy)phenyl)-2-pyrrolidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

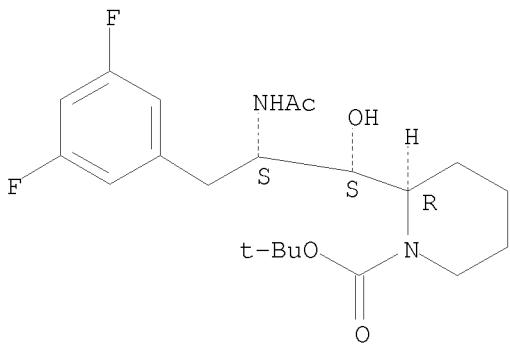


● HCl

IT 869527-61-7P, 2-(2R)-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidine-1-carboxylic acid tert-butyl ester 869527-63-9P, 2-(R)-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]pyrrolidine-1-carboxylic acid tert-butyl ester 869530-63-2P, (2R,4R)-2-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-(3-methoxyphenoxy)pyrrolidine-1-carboxylic acid tert-butyl ester 869530-67-6P, 3-(2-Acetylamino-1-hydroxy-3-phenylpropyl)-7-methoxymethyl-2-azabicyclo[2.2.1]heptane-2-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869527-61-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

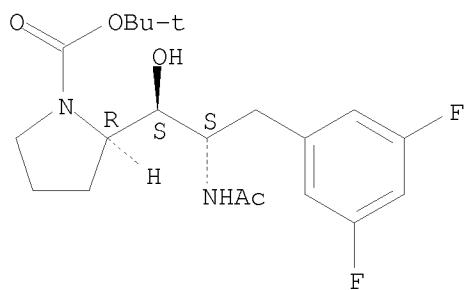
Absolute stereochemistry.



RN 869527-63-9 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

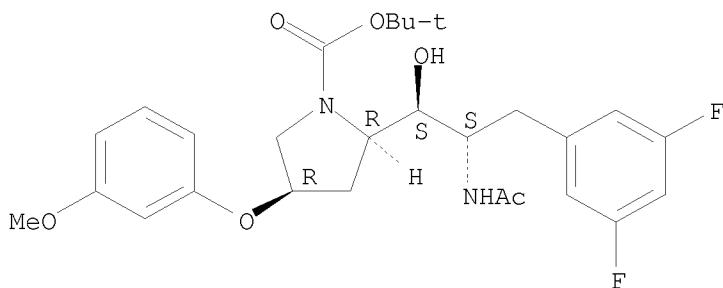
Absolute stereochemistry.



RN 869530-63-2 CAPLUS

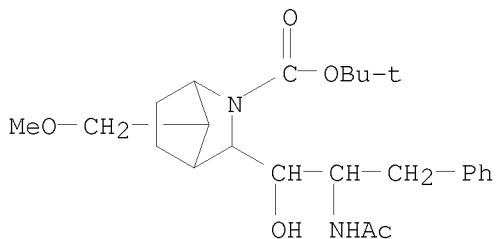
CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-(3-methoxyphenoxy)-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



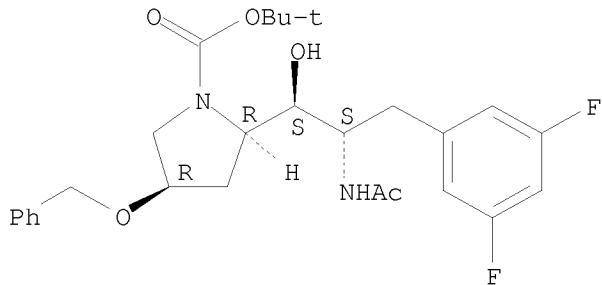
RN 869530-67-6 CAPLUS

CN 2-Azabicyclo[2.2.1]heptane-2-carboxylic acid, 3-[2-(acetylamino)-1-hydroxy-3-phenylpropyl]-7-(methoxymethyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



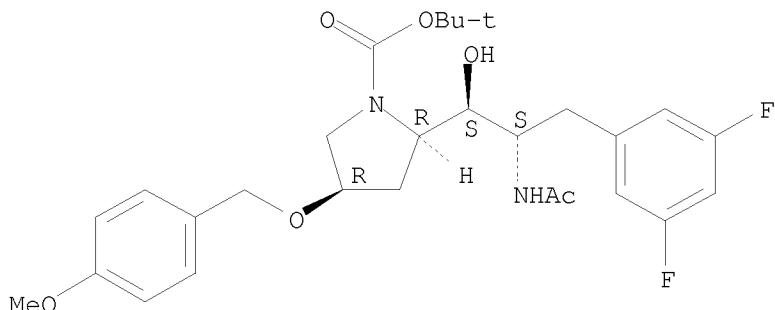
IT 869527-86-6, (2R,4R)-2-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-benzyloxypyrrolidine-1-carboxylic acid tert-butyl ester 869530-18-7, (2R,4R)-2-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-[(4-methoxybenzyl)oxy]pyrrolidine-1-carboxylic acid tert-butyl ester
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of amides as BACE inhibitors for treating Alzheimer's)
 RN 869527-86-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 869530-18-7 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-4-[(4-methoxyphenyl)methoxy]-, 1,1-dimethylethyl ester, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

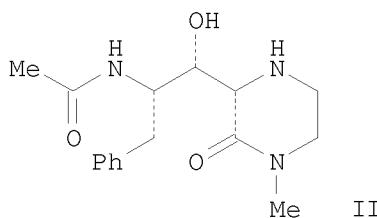
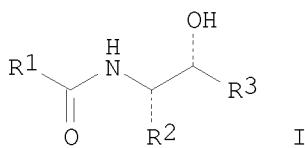


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1220116 CAPLUS
DOCUMENT NUMBER: 143:477983
TITLE: Preparation of amides as BACE inhibitors for treating
Alzheimer's
INVENTOR(S): Bueno Melendo, Ana Belen; Chen, Shu-Hui; Erickson, Jon
Andre; Gonzalez-Garcia, Maria Rosario; Guo, Deqi;
Marcos Llorente, Alicia; McCarthy, James Ray;
Shepherd, Timothy Alan; Sheehan, Scott Martin; Yip,
Yvonne Yee Mai
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005108391	A1	20051117	WO 2005-US12189	20050408 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1740573	A1	20070110	EP 2005-736358	20050408 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007533740	T	20071122	JP 2007-509507	20050408 <--
US 20070225372	A1	20070927	US 2006-599125	20060920 <--
PRIORITY APPLN. INFO.:			US 2004-564538P	P 20040422 <--
			WO 2005-US12189	W 20050408

OTHER SOURCE(S): CASREACT 143:477983; MARPAT 143:477983
GI



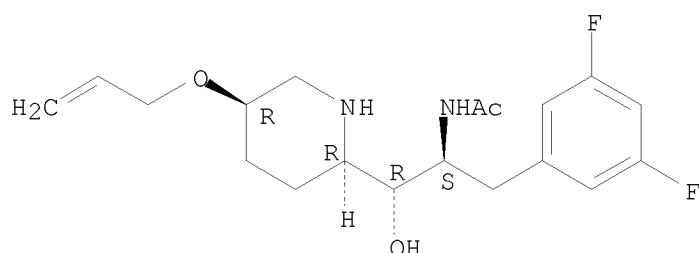
AB Title compds. I [R1 = (un)substituted cycloalkyl/alkyl, biphenyl, cycloalkyl, etc.; R2 = alkyl, (un)substituted benzyl; R3 = (un)substituted piperidin-2-yl, tetrahydropyridin-2-yl, piperazin-2-yl, homopiperidin-2-yl, etc.] were prepared as β -site APP-cleaving enzyme (BACE) inhibitors. Thus, acetylation of 3-(S)-(2-(S)-amino-1-(S)-hydroxy-3-phenylpropyl)-1-methylpiperazin-2-one (preparation given) with AcOH gave amide II•HCl. I exhibited an IC50 for BACE1 and BACE2 of at least 15 μ M in a BACE1 and BACE2 mcaFRET assay. Thus, I are useful for treating Alzheimer's disease and preventing progressive of mild cognitive impairment to Alzheimer's disease.

IT 869659-54-1P 869659-58-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869659-54-1 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(2-propen-1-yloxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

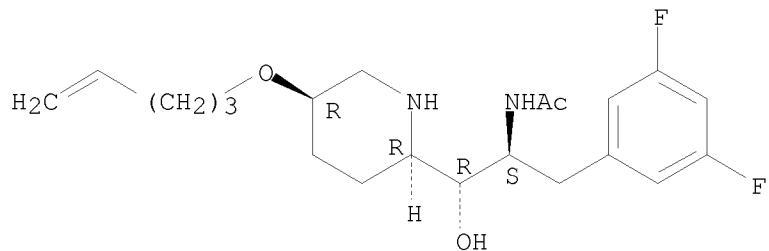


● HCl

RN 869659-58-5 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(4-penten-1-yloxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

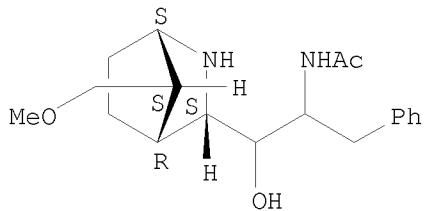
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N-[(1S,2R)-1-Benzyl-2-hydroxy-2-(R)-(piperidin-2-yl)ethyl]acetamide hydrochloride 869659-05-2P,
N-[2-(2-Azabicyclo[2.2.1]hept-3-yl)-1-benzyl-2-hydroxyethyl]acetamide trifluoroacetate 869659-06-3P,
N-[(1S,2R)-2-[(5R,2R)-5-(Benzyl)oxy]piperidin-2-yl]-1-(3,5-difluorobenzyl)-2-hydroxyethyl]acetamide hydrochloride 869659-28-9P
869659-34-7P 869659-40-5P,
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-(7-methoxymethyl-2-azabicyclo[2.2.1]hept-3-yl)ethyl]acetamide hydrochloride 869659-41-6P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-2-[7-(3-methylbutyl)-2-azabicyclo[2.2.1]hept-3-yl]ethyl]acetamide hydrochloride 869659-43-8P 869659-44-9P 869659-53-0P
869659-55-2P 869659-56-3P 869659-57-4P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(3-chlorobenzo[b]thien-2-yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869659-59-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(5-chlorobenzo[b]thien-2-yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869659-60-9P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(5-chlorothien-2-yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869659-61-0P 869659-62-1P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-cyclohexylethyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-63-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-(cyclopentylmethoxy)piperidin-2-yl]ethyl]acetamide hydrochloride 869659-64-3P 869659-65-4P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-methylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-66-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-[(5R,2R)-5-[(5-methylthiazol-2-yl)methoxy]piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869659-67-6P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-methylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-68-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-chlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-69-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(3-chlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-70-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-chlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-71-2P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-trifluoromethylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-72-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(3-trifluoromethylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-73-4P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-trifluoromethylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-74-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(4-tert-butylbenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-75-6P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2,6-difluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-76-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2,6-dichlorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-77-8P,
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-[(5R,2R)-5-[(2-fluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-78-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-2-

[(5R, 2R)-5-[(3-fluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-79-0P,
 N-[(1S, 2R)-1-(3, 5-Difluorobenzyl)-2-hydroxy-2-[(5R, 2R)-5-[(4-fluorobenzyl)oxy]piperidin-2-yl]ethyl]acetamide hydrochloride 869659-80-3P, N-[(1S, 2R)-1-(3, 5-Difluorobenzyl)-2-[(5R, 2R)-5-(cyclohexylmethoxy)piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869659-81-4P, N-[(1S, 2R)-1-(3, 5-Difluorobenzyl)-2-[(5R, 2R)-5-(cyclobutylmethoxy)piperidin-2-yl]-2-hydroxyethyl]acetamide hydrochloride 869659-82-5P 869659-83-6P 869660-35-5P,
 N-[(1S)-1-Benzyl-2-(6-ethylpiperidin-2-yl)-2-hydroxyethyl]acetamide hydrochloride 869660-36-6P,
 N-[(1S)-1-Benzyl-2-hydroxy-2-(6-methylpiperidin-2-yl)ethyl]acetamide hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869657-93-2 CAPLUS

CN Acetamide, N-[2-hydroxy-2-[(1R, 3R, 4S, 7R)-7-(methoxymethyl)-2-azabicyclo[2.2.1]hept-3-yl]-1-(phenylmethyl)ethyl]-, rel- (CA INDEX NAME)

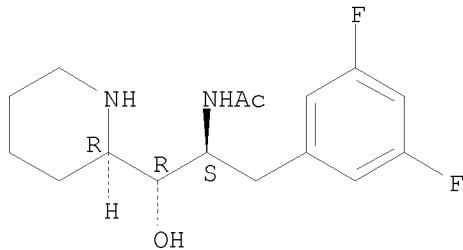
Relative stereochemistry.



RN 869659-02-9 CAPLUS

CN Acetamide, N-[(1S, 2R)-1-[(3, 5-difluorophenyl)methyl]-2-hydroxy-2-(2R)-2-piperidinylethyl]- (CA INDEX NAME)

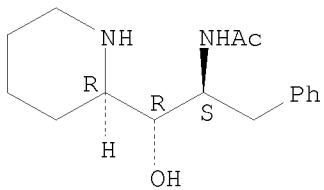
Absolute stereochemistry.



RN 869659-03-0 CAPLUS

CN Acetamide, N-[(1S, 2R)-2-hydroxy-1-(phenylmethyl)-2-(2R)-2-piperidinylethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

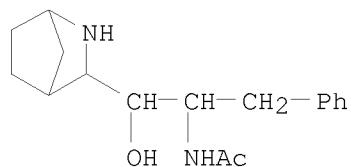
RN 869659-05-2 CAPLUS

CN Acetamide, N-[2-(2-azabicyclo[2.2.1]hept-3-yl)-2-hydroxy-1-(phenylmethyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 869659-04-1

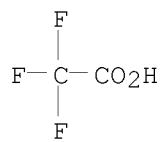
CMF C17 H24 N2 O2



CM 2

CRN 76-05-1

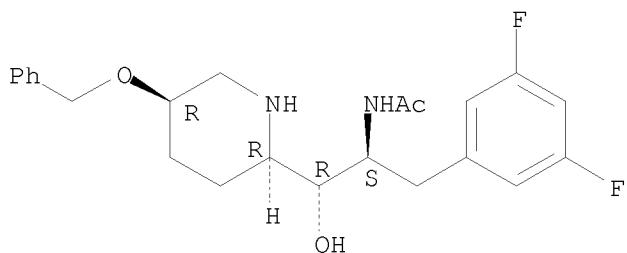
CMF C2 H F3 O2



RN 869659-06-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(phenylmethoxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

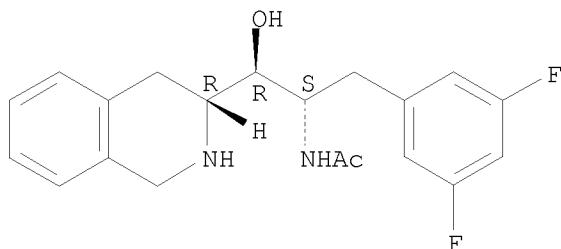


● HCl

RN 869659-28-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

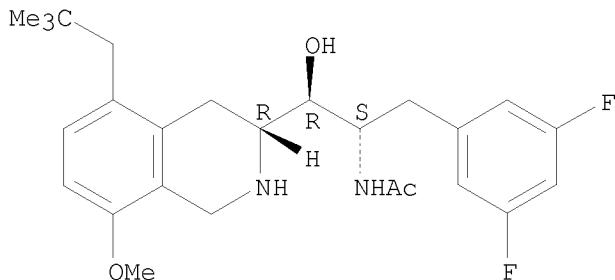


● HCl

RN 869659-34-7 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(3R)-5-(2,2-dimethylpropyl)-1,2,3,4-tetrahydro-8-methoxy-3-isoquinolinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

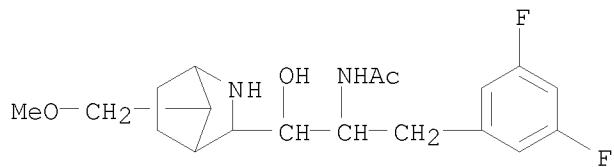
Absolute stereochemistry.



● HCl

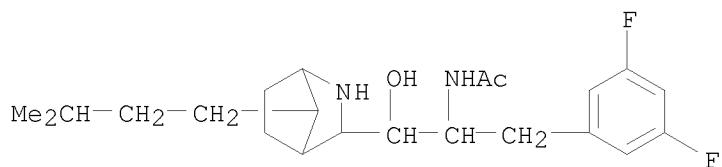
RN 869659-40-5 CAPLUS

CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[7-(methoxymethyl)-2-azabicyclo[2.2.1]hept-3-yl]ethyl]-, hydrochloride (1:1)
(CA INDEX NAME)



● HCl

RN 869659-41-6 CAPLUS
CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[7-(3-methylbutyl)-2-azabicyclo[2.2.1]hept-3-yl]ethyl]-, hydrochloride (1:1)
(CA INDEX NAME)

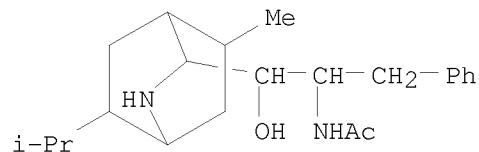


● HCl

RN 869659-43-8 CAPLUS
CN Acetamide, N-[2-hydroxy-2-[5-methyl-7-(1-methylethyl)-2-azabicyclo[2.2.2]oct-3-yl]-1-(phenylmethyl)ethyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

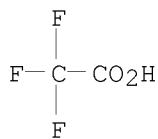
CM 1

CRN 869659-42-7
CMF C22 H34 N2 O2



CM 2

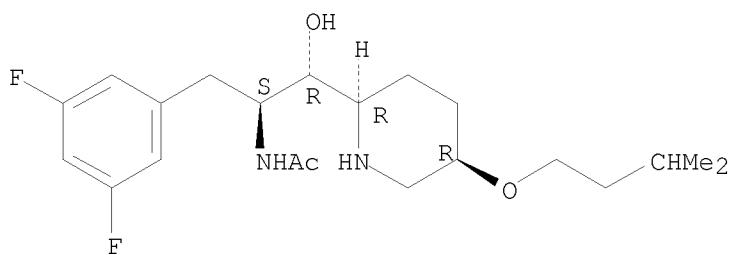
CRN 76-05-1
CMF C2 H F3 O2



RN 869659-44-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(3-methylbutoxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

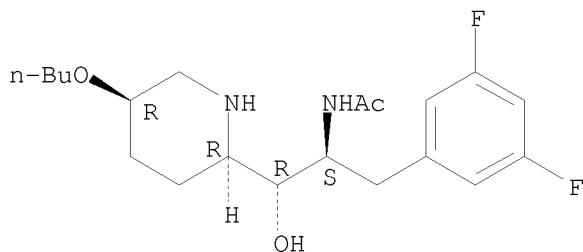


● HCl

RN 869659-53-0 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-butoxy-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

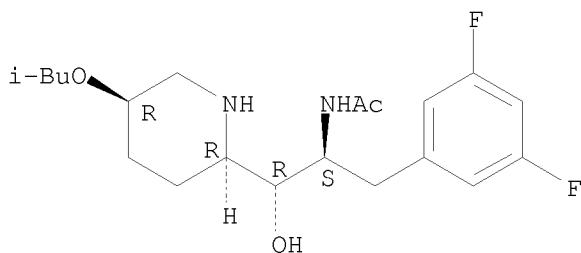


● HCl

RN 869659-55-2 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(2-methylpropoxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

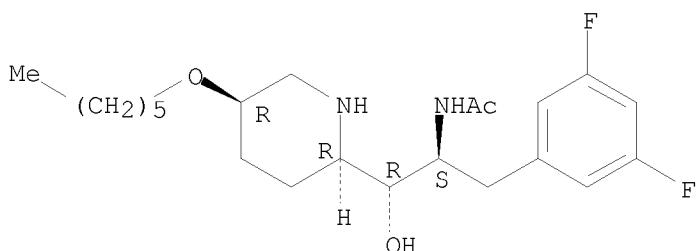


● HCl

RN 869659-56-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(hexyloxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

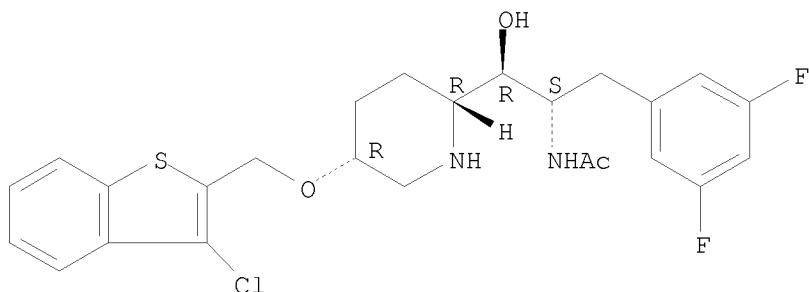


● HCl

RN 869659-57-4 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(3-chlorobenzo[b]thien-2-yl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

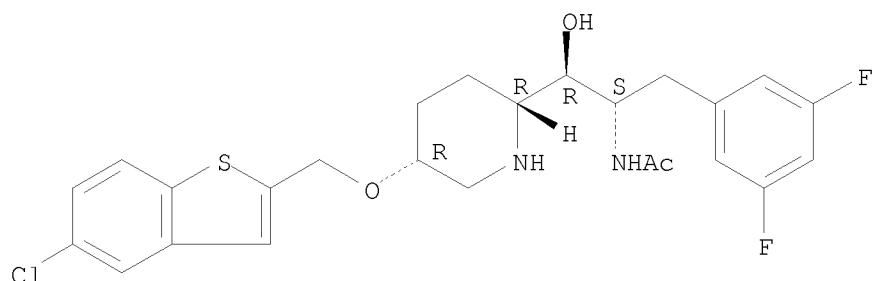


● HCl

RN 869659-59-6 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(5-chlorobenzo[b]thien-2-yl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

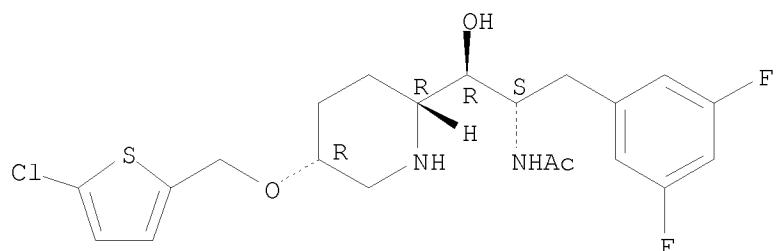


● HCl

RN 869659-60-9 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(5-chloro-2-thienyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

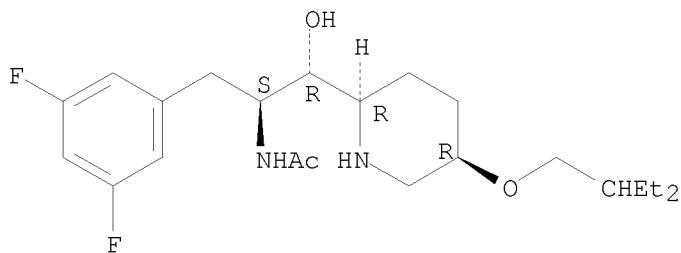


● HCl

RN 869659-61-0 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(2-ethylbutoxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

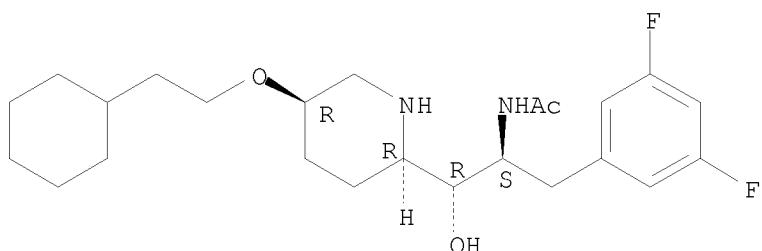


● HCl

RN 869659-62-1 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(2-cyclohexylethoxy)-2-piperidinyl]-1-(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

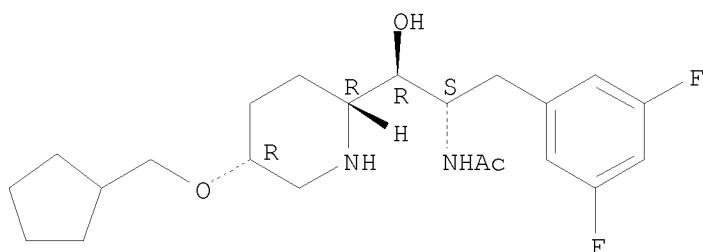


● HCl

RN 869659-63-2 CAPLUS

CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(cyclopentylmethoxy)-2-piperidinyl]-1-(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



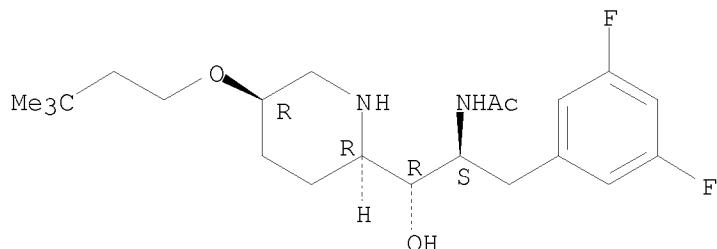
● HCl

RN 869659-64-3 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-(3,3-

dimethylbutoxy)-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

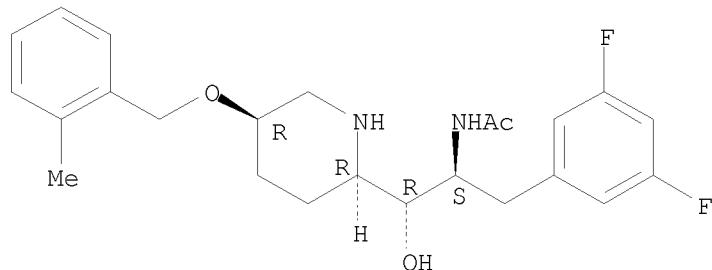


• HCl

RN 869659-65-4 CAPLUS

Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(2-methylphenyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

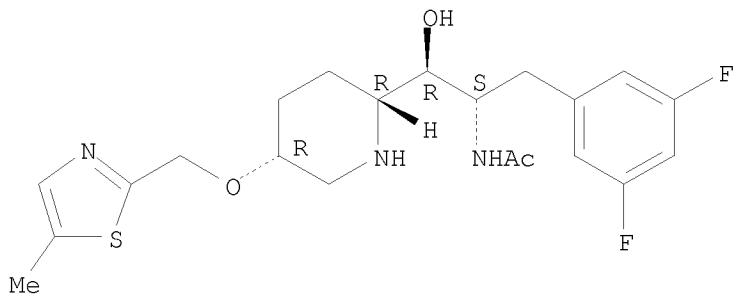


● HCl

RN 869659-66-5 CAPLUS

Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(5-methyl-2-thiazolyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

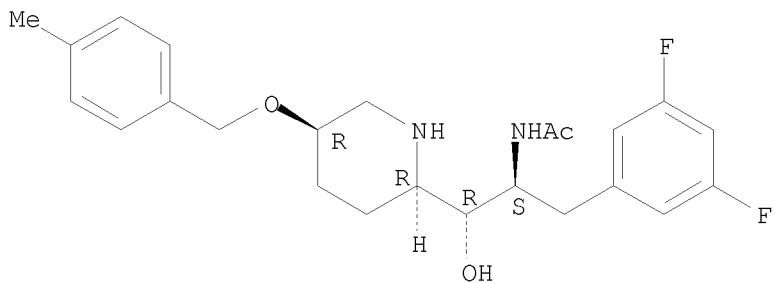
Absolute stereochemistry.



● HCl

RN 869659-67-6 CAPLUS
 CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(4-methylphenyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

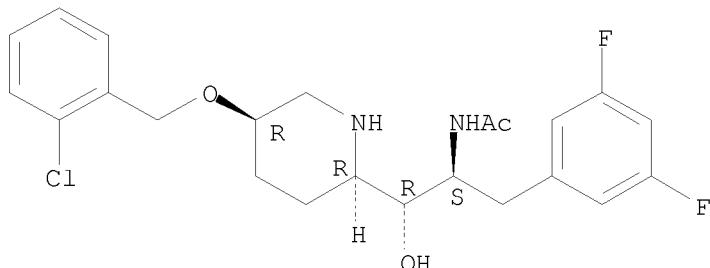
Absolute stereochemistry.



● HCl

RN 869659-68-7 CAPLUS
 CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2-chlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

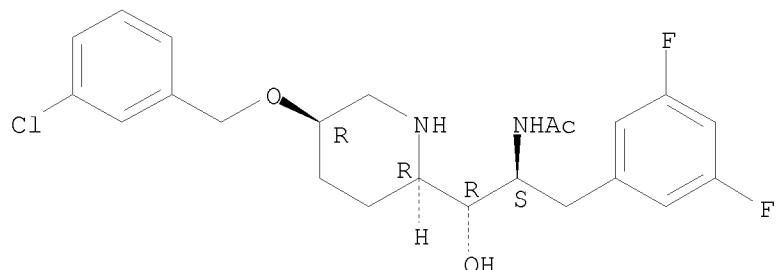
Absolute stereochemistry.



● HCl

RN 869659-69-8 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(3-chlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

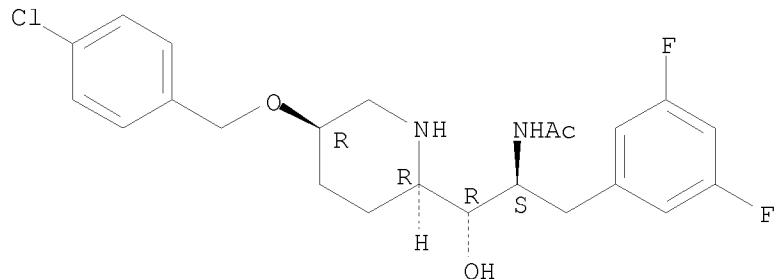
Absolute stereochemistry.



● HCl

RN 869659-70-1 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(4-chlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

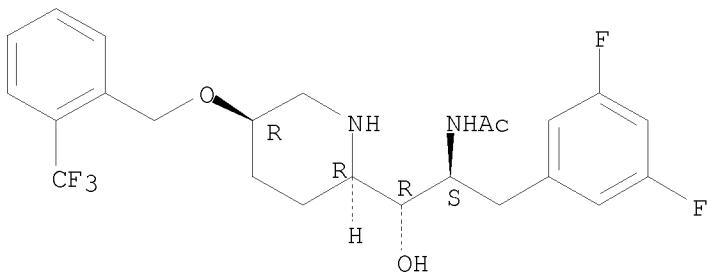
Absolute stereochemistry.



● HCl

RN 869659-71-2 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[(2-(trifluoromethyl)phenyl)methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

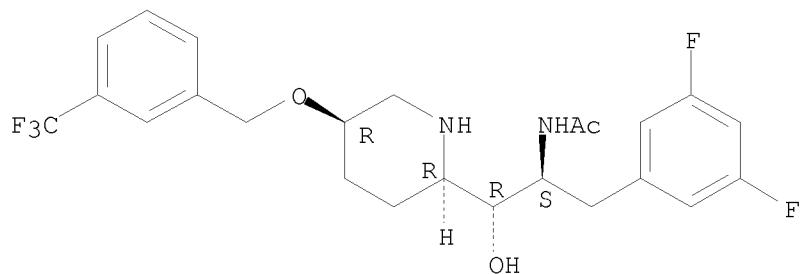
Absolute stereochemistry.



● HCl

RN 869659-72-3 CAPLUS
 CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[[3-(trifluoromethyl)phenyl]methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

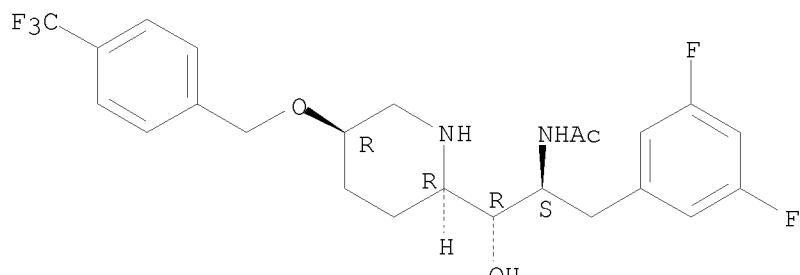
Absolute stereochemistry.



● HCl

RN 869659-73-4 CAPLUS
 CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-[[4-(trifluoromethyl)phenyl]methoxy]-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

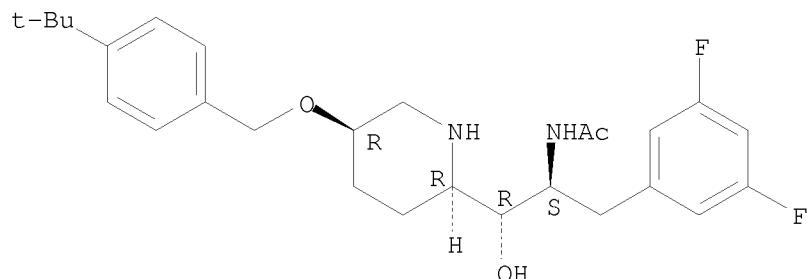
Absolute stereochemistry.



● HCl

RN 869659-74-5 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(4-(1,1-dimethylethyl)phenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

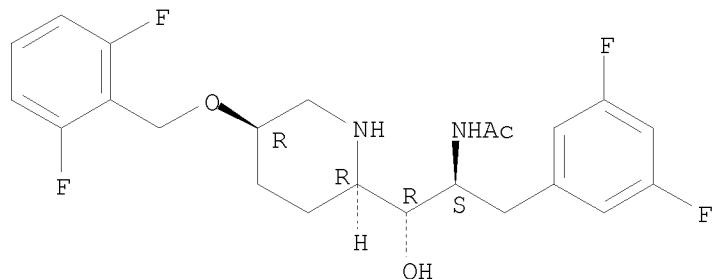
Absolute stereochemistry.



● HCl

RN 869659-75-6 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2,6-difluorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

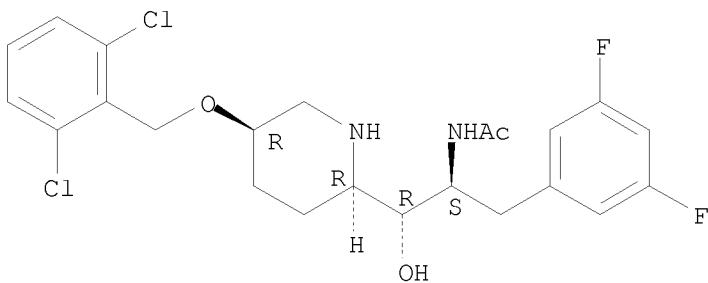
Absolute stereochemistry.



● HCl

RN 869659-76-7 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-[(2,6-dichlorophenyl)methoxy]-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

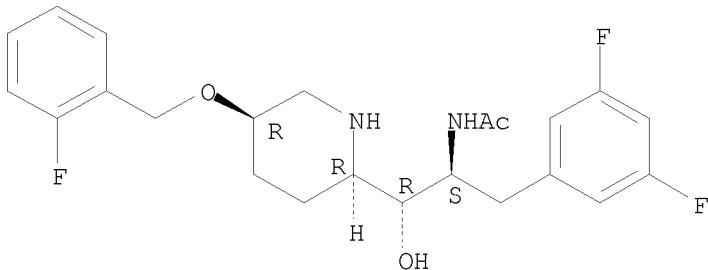


● HCl

RN 869659-77-8 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(2-fluorophenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1)
(CA INDEX NAME)

Absolute stereochemistry.

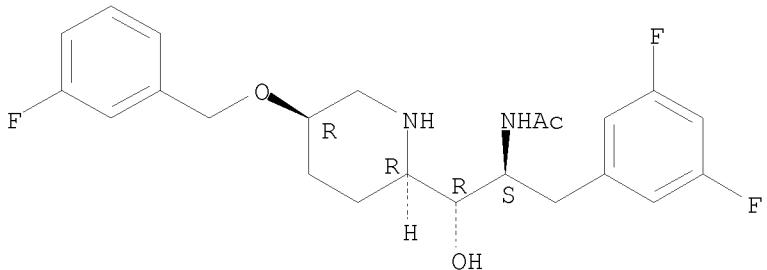


● HCl

RN 869659-78-9 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(3-fluorophenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1)
(CA INDEX NAME)

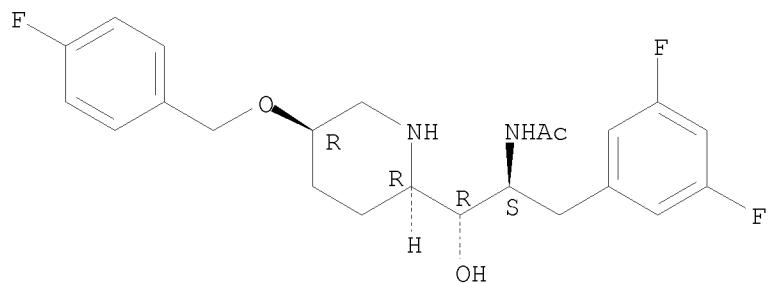
Absolute stereochemistry.



● HCl

RN 869659-79-0 CAPLUS
CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-[(2R,5R)-5-[(4-fluorophenyl)methoxy]-2-piperidinyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

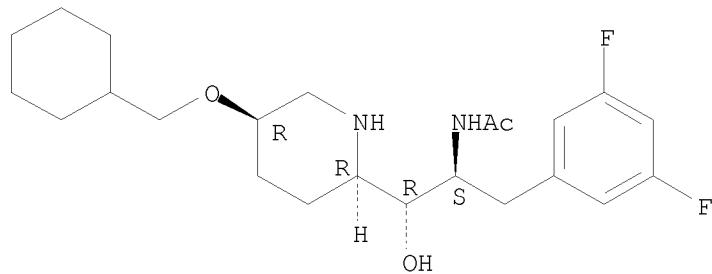
Absolute stereochemistry.



● HCl

RN 869659-80-3 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(cyclohexylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

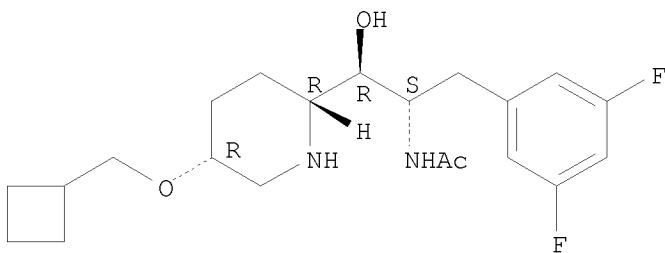
Absolute stereochemistry.



● HCl

RN 869659-81-4 CAPLUS
CN Acetamide, N-[(1S,2R)-2-[(2R,5R)-5-(cyclobutylmethoxy)-2-piperidinyl]-1-[(3,5-difluorophenyl)methyl]-2-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

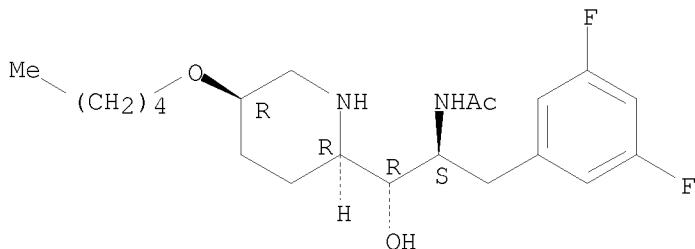


● HCl

RN 869659-82-5 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-(pentyloxy)-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

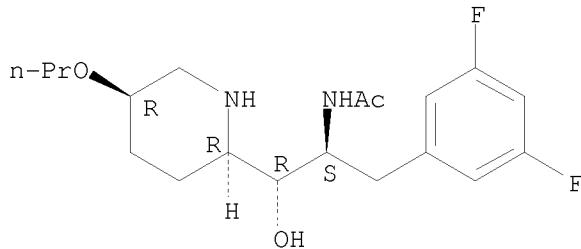


● HCl

RN 869659-83-6 CAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-2-[(2R,5R)-5-propoxy-2-piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

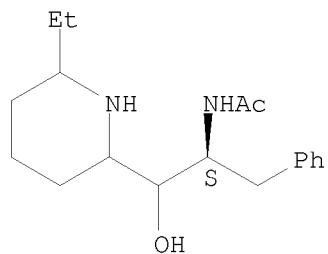


● HCl

RN 869660-35-5 CAPLUS

CN Acetamide, N-[(1S)-2-[(6-ethyl-2-piperidinyl)-2-hydroxy-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

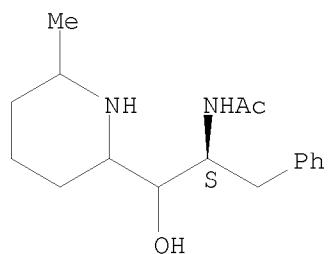


● HCl

RN 869660-36-6 CAPLUS

CN Acetamide, N-[(1S)-2-hydroxy-2-(6-methyl-2-piperidinyl)-1-(phenylmethyl)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 869527-61-7P, (2R)-[(1S,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]piperidine-1-carboxylic acid tert-butyl ester

869658-17-3P, (2R)-[(1S,2S)-2-Acetylamino-1-hydroxy-3-phenylpropyl]piperidine-1-carboxylic acid tert-butyl ester

869658-82-2P, (2R,5R)-2-[(1R,2S)-2-Acetylamino-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-benzyloxypiperidine-1-carboxylic acid tert-butyl ester 869659-35-8P 869659-36-9P

869659-39-2P 869659-49-4P

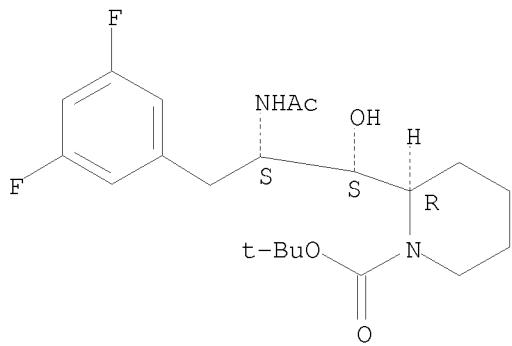
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of amides as BACE inhibitors for treating Alzheimer's)

RN 869527-61-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

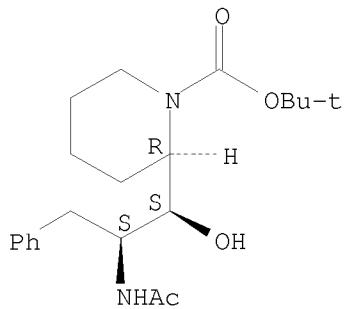
Absolute stereochemistry.



RN 869658-17-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[(1S,2S)-2-(acetylamino)-1-hydroxy-3-phenylpropyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

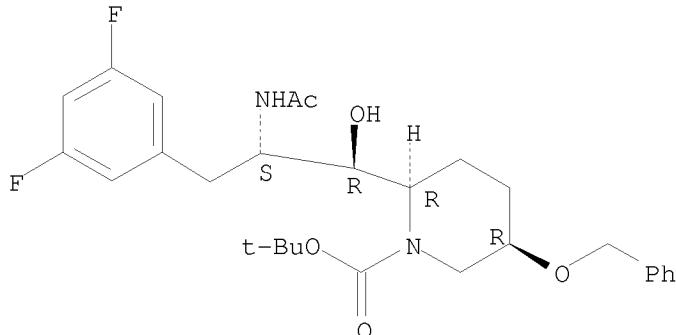
Absolute stereochemistry.



RN 869658-82-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[(1R,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-(phenylmethoxy)-, 1,1-dimethylethyl ester, (2R,5R)- (CA INDEX NAME)

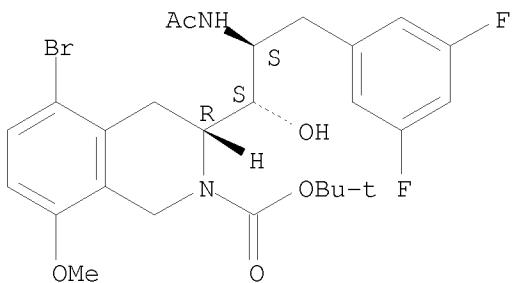
Absolute stereochemistry.



RN 869659-35-8 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-bromo-3,4-dihydro-8-methoxy-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

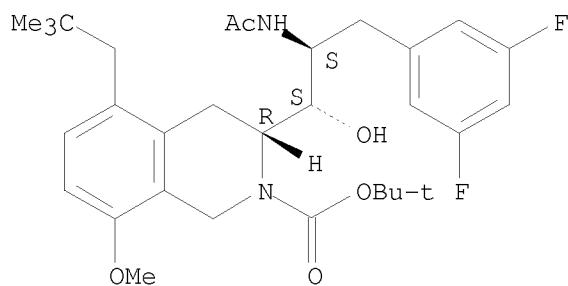
Absolute stereochemistry.



RN 869659-36-9 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid,
3-[(1S,2S)-2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-(2,2-dimethylpropyl)-3,4-dihydro-8-methoxy-, 1,1-dimethylethyl ester, (3R)-(CA INDEX NAME)

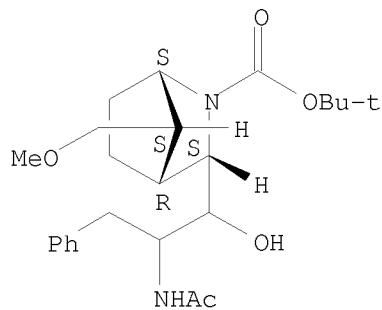
Absolute stereochemistry.



RN 869659-39-2 CAPLUS

CN 2-Azabicyclo[2.2.1]heptane-2-carboxylic acid,
3-[2-(acetylamino)-1-hydroxy-3-phenylpropyl]-7-(methoxymethyl)-,
1,1-dimethylethyl ester, (1R,3R,4S,7R)-rel- (CA INDEX NAME)

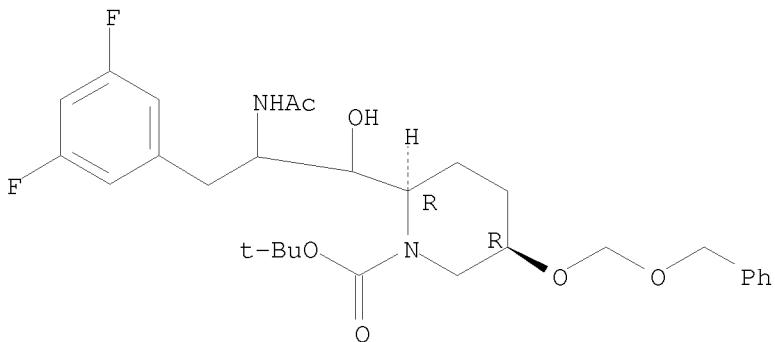
Relative stereochemistry.



RN 869659-49-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[2-(acetylamino)-3-(3,5-difluorophenyl)-1-hydroxypropyl]-5-[(phenylmethoxy)methoxy]-, 1,1-dimethylethyl ester,
(2R,5R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
 (6 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:575041 CAPLUS
 DOCUMENT NUMBER: 137:140338
 TITLE: Preparation of aminoethanol derivatives as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia, etc.
 INVENTOR(S): Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu; Yamamoto, Toshihiro
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 748 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059077	A1	20020801	WO 2002-JP532	20020125 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002228349	A1	20020806	AU 2002-228349	20020125 <--
JP 2002293764	A	20021009	JP 2002-17487	20020125 <--
EP 1362846	A1	20031119	EP 2002-710345	20020125 <--
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US 20040127574	A1	20040701	US 2003-470351	20030725 <--
US 6982348	B2	20060103		

PRIORITY APPLN. INFO.: JP 2001-19280 A 20010126 <--
 WO 2002-JP532 W 20020125 <--

OTHER SOURCE(S): MARPAT 137:140338

AB The title compds. Ar1CH(OR'')CH(CH₂Ar₂)NR'R [Ar₁ represents an optionally substituted aromatic ring group; Ar₂ represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyl] are

prepared Compds. of this invention in vitro showed IC₅₀ values of 0.0084 μM to 0.4 μM against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.

IT 444916-40-9P

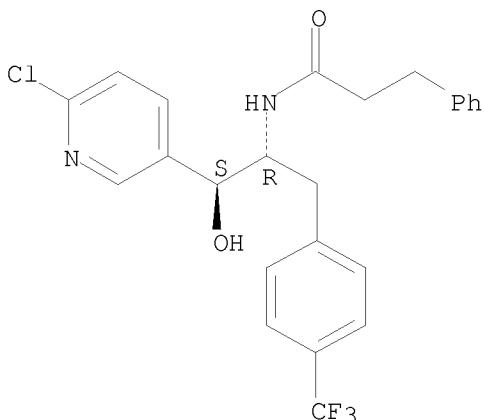
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminoethanol derivs. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia)

RN 444916-40-9 CAPLUS

CN Benzenepropanamide, N-[(1R,2S)-2-(6-chloro-3-pyridinyl)-2-hydroxy-1-[(4-(trifluoromethyl)phenyl)methyl]ethyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST

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FULL ESTIMATED COST	39.32	225.90
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.92	-4.92

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Aug 10, 2009 (20090810/UP).

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LOGOFF? (Y)/N/HOLD:Y
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FULL ESTIMATED COST

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.42	226.32
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CA SUBSCRIBER PRICE

ENTRY	SESSION
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